

Ward, P.  
10/663335

10/663335

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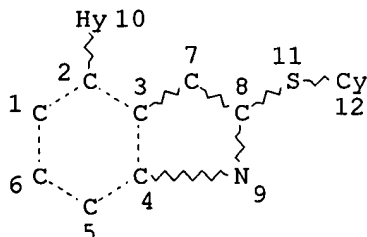
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
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experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

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L1 STR



Str.

NODE ATTRIBUTES:  
CONNECT IS X3 RC AT 7  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

Searcher : Shears 571-272-2528

L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS  
SEARCH TIME: 00.00.01

34 ANSWERS

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006  
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FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12  
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

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L3 3 L2

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:470334 CAPLUS

DOCUMENT NUMBER: 143:125834

TITLE: A Three-Dimensional Pharmacophore Model for  
5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists  
AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de  
la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo;  
Campillo, Mercedes

CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de  
Ciencias Quimicas, Universidad Complutense,  
Madrid, E-28040, Spain

SOURCE: Journal of Medicinal Chemistry (2005), 48(13),  
4216-4219  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting with Phe6.52.

IT 676448-24-1

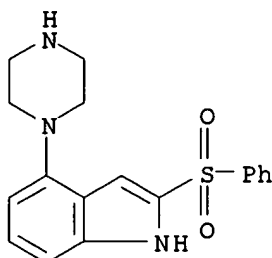
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

10/663335

(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)

RN 676448-24-1 CAPLUS

CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267300 CAPLUS

DOCUMENT NUMBER: 140:303525

TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2498946	AA	20040401	CA 2003-2498946	20030908
AU 2003267063	A1	20040408	AU 2003-267063	20030908
EP 1542973	A1	20050622	EP 2003-747986	20030908
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003014363	A	20050719	BR 2003-14363	20030908
JP 2006502177	T2	20060119	JP 2004-537019	20030908
US 2004072844	A1	20040415	US 2003-663335	20030916

Searcher : Shears 571-272-2528

10/663335

NO 2005000664  
PRIORITY APPLN. INFO.:

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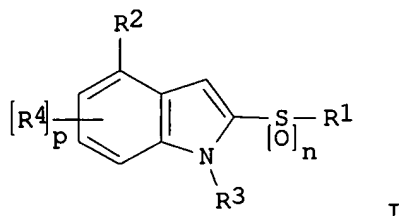
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OTHER SOURCE(S):  
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MARPAT 140:303525



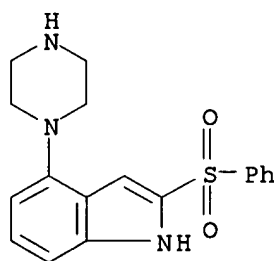
AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

IT 676448-24-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

RN 676448-24-1 CAPLUS

CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



IT 676447-83-9P 676447-85-1P 676447-86-2P  
676447-88-4P 676447-89-5P 676447-91-9P  
676447-93-1P 676447-95-3P 676447-97-5P  
676447-98-6P 676448-00-3P 676448-01-4P  
676448-02-5P 676448-03-6P 676448-04-7P  
676448-05-8P 676448-06-9P 676448-07-0P  
676448-08-1P 676448-09-2P 676448-10-5P  
676448-11-6P 676448-12-7P 676448-25-2P

Searcher : Shears 571-272-2528

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676448-26-3P 676448-27-4P 676448-28-5P

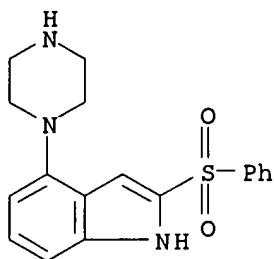
676448-29-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

RN 676447-83-9 CAPLUS

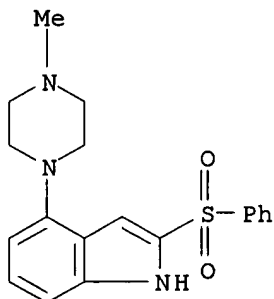
CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 676447-85-1 CAPLUS

CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 676447-86-2 CAPLUS

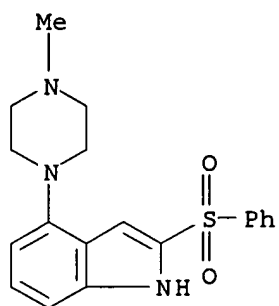
CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 676447-85-1

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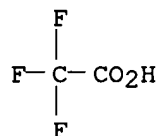
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CM 2

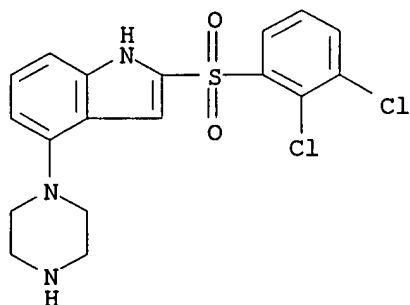
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CMF C2 H F3 O2



RN 676447-88-4 CAPLUS

CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI)  
(CA INDEX NAME)



RN 676447-89-5 CAPLUS

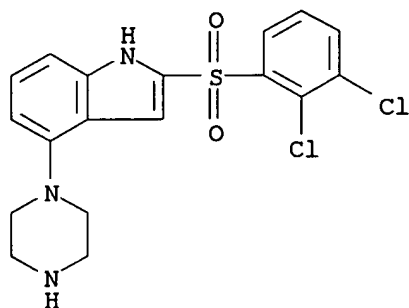
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676447-88-4

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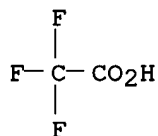
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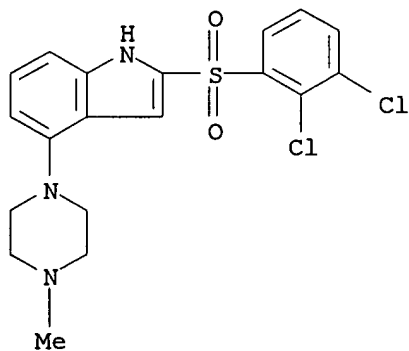
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CMF C2 H F3 O2



RN 676447-91-9 CAPLUS

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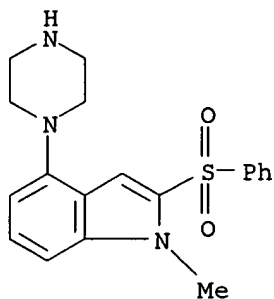


● HCl

RN 676447-93-1 CAPLUS

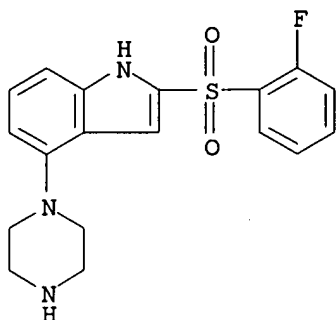
CN 1H-Indole, 1-methyl-2-(phenylsulfonyl)-4-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

10/663335



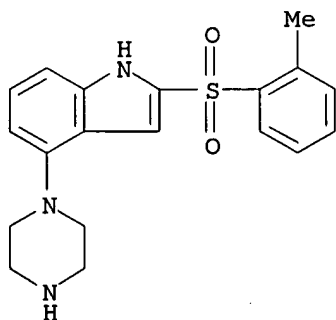
●2 HCl

RN 676447-95-3 CAPLUS  
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-,  
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 676447-97-5 CAPLUS  
CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA  
INDEX NAME)



RN 676447-98-6 CAPLUS

Searcher : Shears 571-272-2528



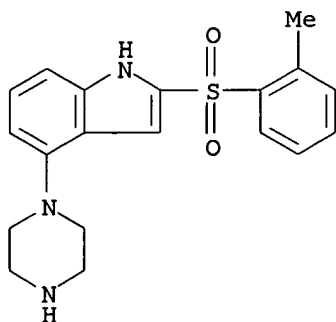
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CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676447-97-5

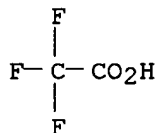
CMF C19 H21 N3 O2 S



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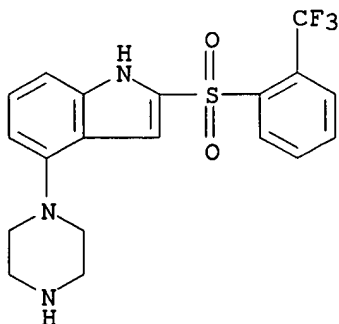
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RN 676448-00-3 CAPLUS

CN 1H-Indole, 4-(1-piperazinyl)-2-[[2-(trifluoromethyl)phenyl]sulfonyl]-  
(9CI) (CA INDEX NAME)



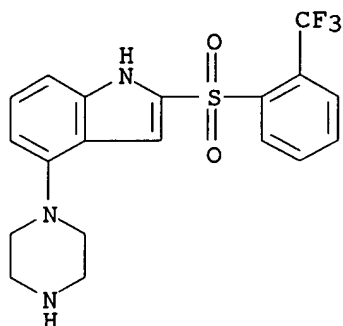
RN 676448-01-4 CAPLUS

CN 1H-Indole, 4-(1-piperazinyl)-2-[[2-(trifluoromethyl)phenyl]sulfonyl]-,  
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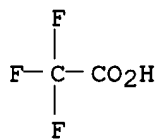
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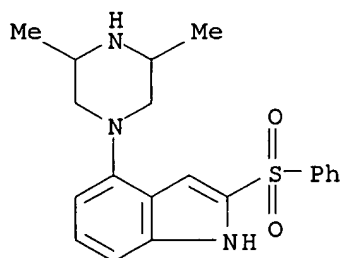


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 676448-02-5 CAPLUS  
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(CA INDEX NAME)

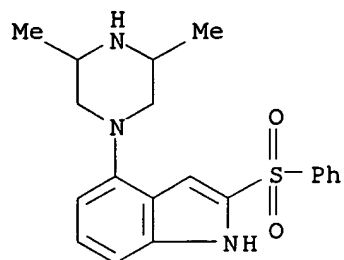


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CN 1H-Indole, 4-(3,5-dimethyl-1-piperazinyl)-2-(phenylsulfonyl)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676448-02-5  
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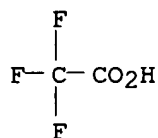
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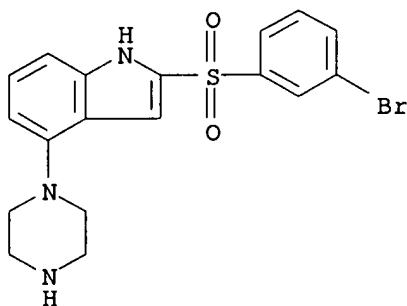
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CMF C2 H F3 O2



RN 676448-04-7 CAPLUS

CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-05-8 CAPLUS

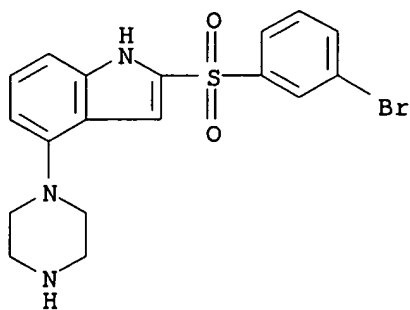
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676448-04-7

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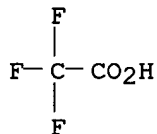
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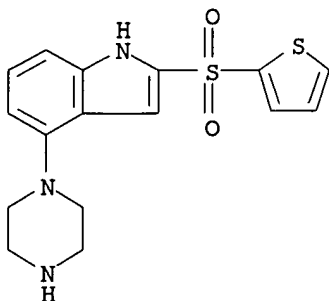
CRN 76-05-1

CMF C2 H F3 O2



RN 676448-06-9 CAPLUS

CN 1H-Indole, 4-(1-piperazinyl)-2-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



RN 676448-07-0 CAPLUS

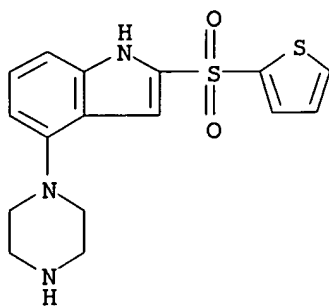
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CRN 676448-06-9

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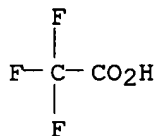
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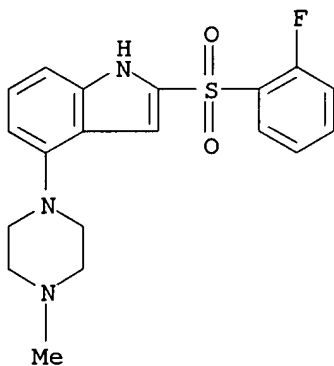
CRN 76-05-1

CMF C2 H F3 O2



RN 676448-08-1 CAPLUS

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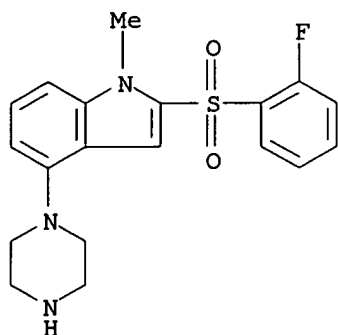
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RN 676448-09-2 CAPLUS

CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)-  
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Searcher : Shears 571-272-2528

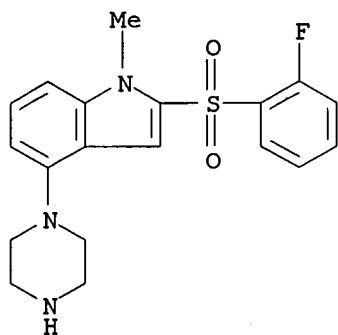
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RN 676448-10-5 CAPLUS  
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mono(trifluoroacetate) (9CI) (CA INDEX NAME)

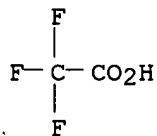
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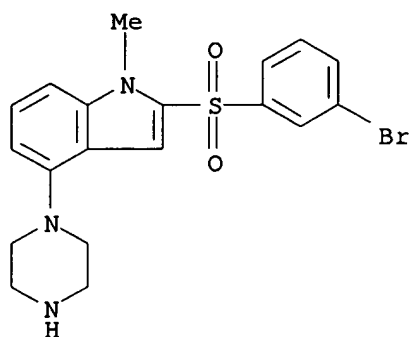
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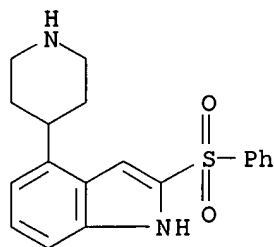
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monohydrochloride (9CI) (CA INDEX NAME)

10/663335

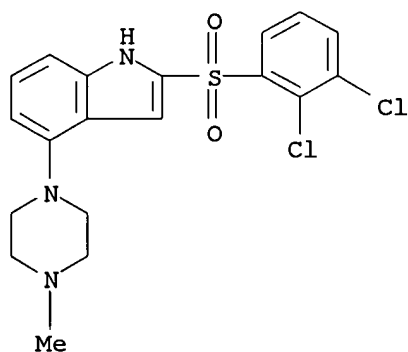


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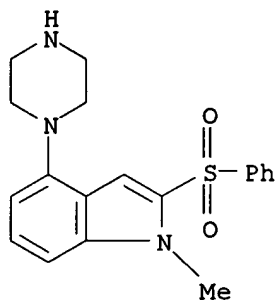


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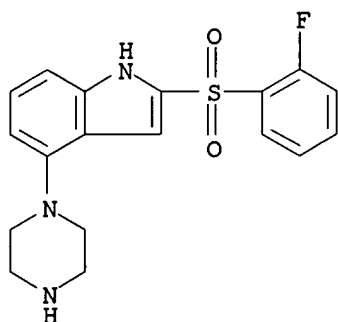
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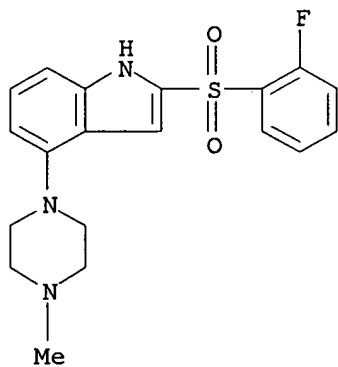
RN 676448-27-4 CAPLUS

CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-28-5 CAPLUS

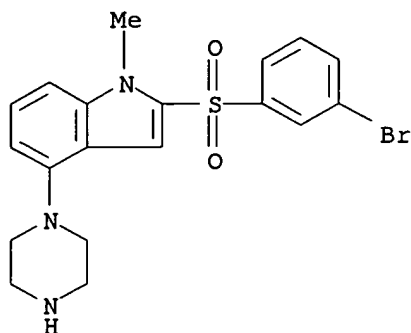
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-29-6 CAPLUS

CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)





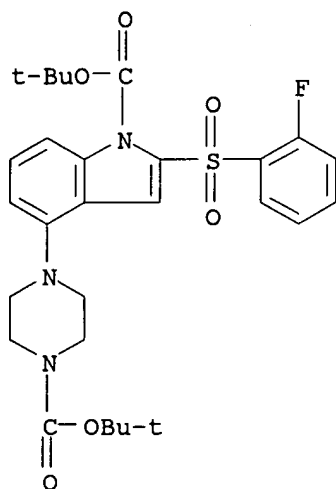
IT 676448-14-9P 676448-16-1P 676448-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(preparation of 2,4-substituted indoles for treating or preventing a  
 disease state that is alleviated by 5-HT6 agonists)

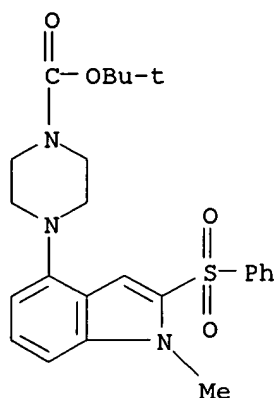
RN 676448-14-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 4-[4-[(1,1-dimethylethoxy)carbonyl]-1-  
 piperazinyl]-2-[(2-fluorophenyl)sulfonyl]-, 1,1-dimethylethyl ester  
 (9CI) (CA INDEX NAME)

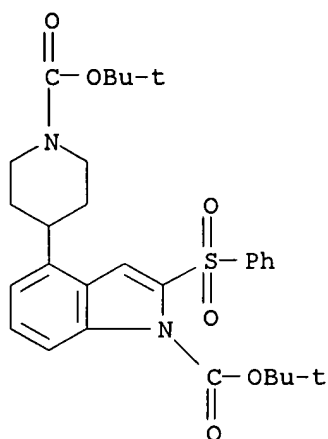


RN 676448-16-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-methyl-2-(phenylsulfonyl)-1H-indol-4-  
 yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676448-23-0 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 4-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-2-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1996:712944 CAPLUS  
 DOCUMENT NUMBER: 126:26455  
 TITLE: Mechanism of Selective Incorporation of the Melanoma Seeker 2-Thiouracil into Growing Melanin  
 AUTHOR(S): Napolitano, Alessandra; Palumbo, Anna; d'Ischia, Marco; Prota, Giuseppe  
 CORPORATE SOURCE: Department of Organic and Biological Chemistry, University of Naples Federico II, Naples, I-80134, Italy  
 SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5192-5201  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal

LANGUAGE: English

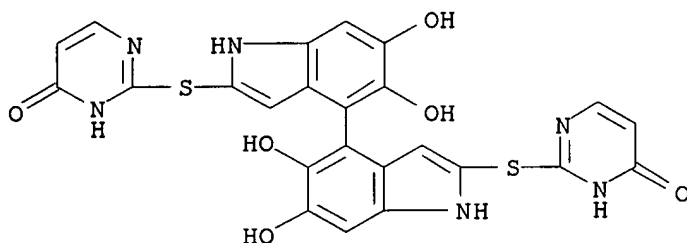
AB The mechanism of selective incorporation of 2-thiouracil (TU), a highly specific melanoma seeker, into growing melanins was investigated both in vitro and in vivo. Methods used included direct anal. of the melanins, by evaluation of the absorption at 350 nm (A350) and chemical degradation coupled with HPLC quantitation of pigment markers, i.e., pyrrole-2,3-dicarboxylic acid (PDCA) and pyrrole-2,3,5-tricarboxylic acid (PTCA), as well as biosynthetic expts. involving tyrosinase-catalyzed oxidation of DOPA, 5,6-dihydroxyindole (DHI), and 5,6-dihydroxyindole-2-carboxylic acid (DHICA). Injection of radiolabeled TU into melanoma-bearing mice resulted in a rapid incorporation of the drug into the tumor pigment, with a substantial decrease in A350 and in PTCA yields. Similar changes in the absorption properties were observed in biosynthetic melanins prepared in the presence of TU, whereas the yields of PTCA and PDCA varied depending on the pigment precursor used. When incubated with DOPA in the presence of tyrosinase, TU profoundly modified the normal course of melanogenesis, favoring formation of a complex mixture of addition products consisting mainly of 6-S-thiouracil-DOPA as well as DHI-TU adducts. The latter were obtained in larger amts. by enzymic oxidation of DHI in the presence of TU and were identified as the 3- and 2-substituted adducts, the dimer, and the trimer. Similar reactions carried out on DHICA yielded the 4-substituted adduct, the dimer, and the trimer. A new mechanistic scheme for the incorporation of TU into growing melanin is proposed, which envisages nucleophilic attack of the thioureylene moiety of TU to transient quinonoid intermediates in the melanin pathway, chiefly dopaquinone and 5,6-indolequinones, followed by entrainment of the resulting adducts into the growing pigment via oxidative copolymn. with DHICA and/or DHI.

IT 184846-16-0P 184846-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(mechanism of selective incorporation of melanoma seeker  
2-thiouracil into growing melanin)

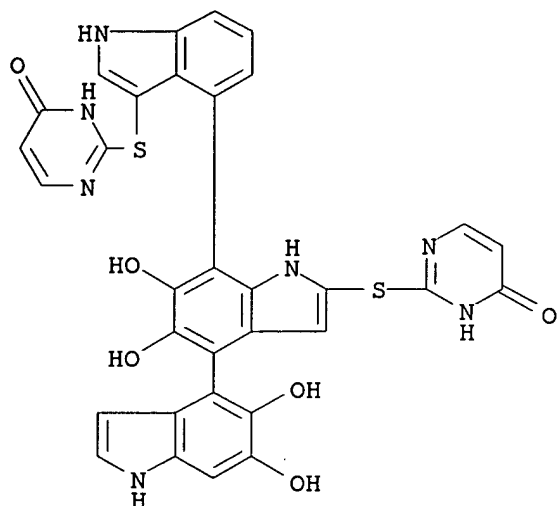
RN 184846-16-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[(5,5',6,6'-tetrahydroxy[4,4'-bi-1H-indole]-  
2,2'-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



RN 184846-17-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[(5,5',6,6'-tetrahydroxy[4,4':7',4''-ter-1H-indole]-2',3''-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4 0 L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006  
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)  
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)  
HIGHEST GRANTED PATENT NUMBER: US7013485  
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519  
CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

L5 1 L2

L5 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2004:95389 USPATFULL  
TITLE: 2,4-Substituted indoles and methods of use  
INVENTOR(S): Madera, Ann Marie, Dublin, CA, UNITED STATES  
Weikert, Robert James, Boulder Creek, CA, UNITED STATES  
PATENT ASSIGNEE(S): Roche Palo Alto LLC, Palo Alto, CA (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004072844	A1	20040415
APPLICATION INFO.:	US 2003-663335	A1	20030916 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-411480P	20020917 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, PATENT LAW DEPT. M/S A2-250, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1113	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The present invention provides a compound of the formula: ##STR1##  
  
a pharmaceutically acceptable salt or a prodrug thereof, where  
R.sup.1, R.sup.2, R.sup.3, R.sup.4, p and n are those defined  
herein. The present invention also provides compositions comprising,  
methods for using, and methods for preparing Compound of Formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

FILE 'MEDLINE' ENTERED AT 12:12:52 ON 15 MAR 2006

FILE 'BIOSIS' ENTERED AT 12:12:52 ON 15 MAR 2006  
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L6 0 L2

FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006  
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COPYRIGHT (C) 2006 American Chemical Society (ACS)

FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

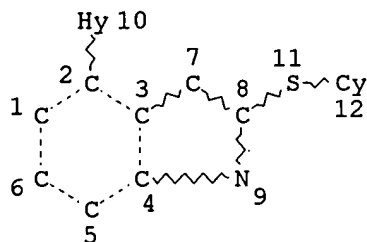
10/663335

US 2006030554 09 FEB 2006  
DE 102004053311 05 JAN 2006  
EP 1609846 28 DEC 2005  
JP 2006003337 05 JAN 2006  
WO 2006012333 02 FEB 2006  
GB 2415429 28 DEC 2005  
FR 2873371 27 JAN 2006  
RU 2266908 27 DEC 2005  
CA 2495134 23 DEC 2005

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L7 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 10 12  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

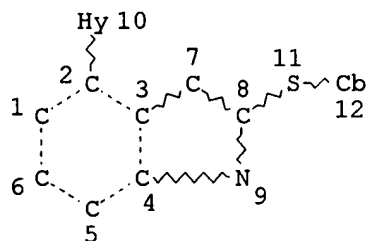
RSPEC I  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)  
L10 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 10  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

## ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

L11 ANSWER 1 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:483193 MARPAT

TITLE: Pharmaceutical compositions containing myricitrin  
or related compounds for treatment of sleeping  
disordersINVENTOR(S): Chan, Hsiao Chang; Gou, Yu Lin; Rowlands, Dewi  
Kenneth; Chung, Yiu Wa

PATENT ASSIGNEE(S): Hong Kong

SOURCE: U.S. Pat. Appl. Publ., 43 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261167	A1	20051124	US 2005-129628	20050513
WO 2005115547	A2	20051208	WO 2005-US16783	20050513

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-572528P 20040518

AB Provided herein is a composition that contains an effective amount of one or more compds. for treating, preventing, or ameliorating a disorder such as insomnia or another sleeping disorder and using the composition Mice were orally administered a mixture containing dihydromyricetin 75.46, myricetin 23.26, and myricitrin 1.27% 60 min prior to low dose injection of sodium pentobarbitone (12.5 mg/kg, i.p.). The mixture was able to significantly prolong pentobarbital induced-sleeping time.

L11 ANSWER 2 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:460024 MARPAT

TITLE: Indole derivatives as chemical uncouplers, their

preparation, pharmaceutical compositions, and use  
in treatment of obesity and related conditions

INVENTOR(S): Olesen, Preben Houlberg; Hohlweg, Rolf  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 42 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105785	A2	20051110	WO 2005-EP52017	20050503
WO 2005105785	A3	20060119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

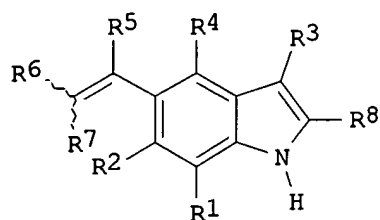
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

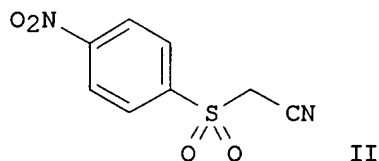
DK 2004-708

20040504

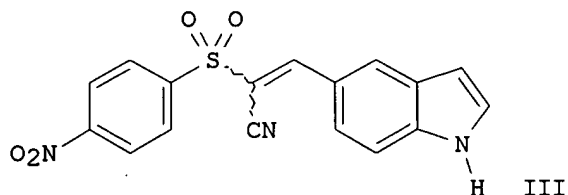
GI



I



II



III

AB The invention relates to 5-vinyl-indole derivs. I, which are chemical uncouplers useful, e.g., for the treatment of obesity. In compds. I, R1 to R4 are independently selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc.; R5 is H, halo, nitro, cyano, alkyl, alkenyl, alkynyl, alkoxy, or alkylamino; R6 is 4-pyridinium radical,



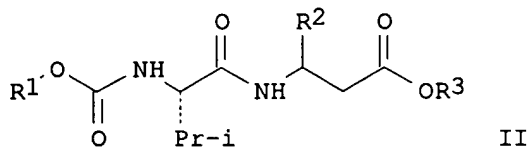
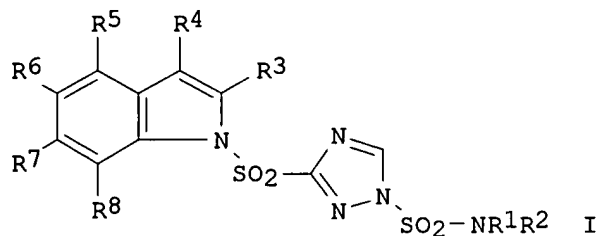
alkyl, alkenyl, alkynyl, carbonyloxy, carbonylamino, etc.; R7 is H or cyano, provided that if R7 is H, then R6 is a 4-pyridinium radical, or R6 and R7, together with the carbon atom to which they are attached, may form a 4-(dicyanomethylene)dihydrophenyl moiety; and R8 is selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I, as well as to the use of the compns. in the treatment of obesity and related conditions. Chloroacetonitrile was substituted with 4-nitrothiophenol followed by oxidation to give sulfonylacetonitrile II. Knoevenagel condensation of II with 5-formylindole resulted in the formation of indolylacrylonitrile III. The compds. of the invention act as chemical uncouplers (no data) useful in the treatment of obesity and related conditions.

L11 ANSWER 3 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:341070 MARPAT  
 TITLE: Synergistic broad-spectrum microbicide compositions containing sulfamoyl compounds and dipeptides or basic copper chloride  
 INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako  
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005272310	A2	20051006	JP 2004-84042	20040323
PRIORITY APPLN. INFO.:			JP 2004-84042	20040323

GI



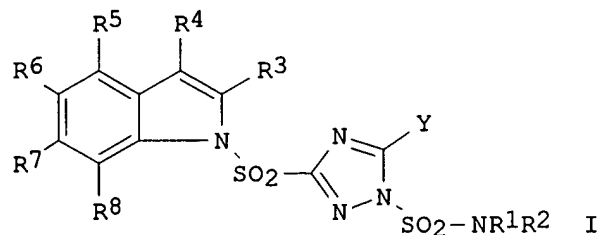
AB The microbicide compns. contain (A) sulfamoyl compds. I [R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, C1-6 alkoxy, C1-10 alkylthio, C1-6 haloalkyl, C1-6 haloalkylthio, (un)substituted benzylthio, (un)substituted Ph, (un)substituted benzyl; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, C2-8 alkenyl, C5-8 cycloalkenyl, C2-8 alkynyl, C1-8 alkoxy, etc.] and/or their agrochem. acceptable salts and (B) dipeptides II (R1 = iso-Pr, Ph; R2 = Me; R3 = Ph substituted with R4 at the 4-position, 2-benzothiazolyl which may be substituted with R5; R4, R5 = F, Cl, Me, Et, MeO, cyano) or (C) basic copper chloride (copper oxychloride) (III). Concomitant application of 1-(N,N-dimethylsulfamoyl)-3-(3-bromo-6-fluoro-2-methylindol-1-yl)sulfonyl-1,2,4-triazole (at 0.625 g/ha) and Me ( $\pm$ )-RS-[3-(N-isopropoxycarbonyl-S-valinyl)amino]-3-(4-chlorophenyl)propanoate (at 2.5 g/ha) showed  $\geq 80\%$  control of disease caused by *Phytophthora infestans* in potato.

L11 ANSWER 4 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:311366 MARPAT  
 TITLE: Method and agents for control of clubroot disease with sulfamoyl compounds  
 INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako  
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005082479	A2	20050331	JP 2003-312347	20030904
PRIORITY APPLN. INFO.:			JP 2003-312347	20030904

GI



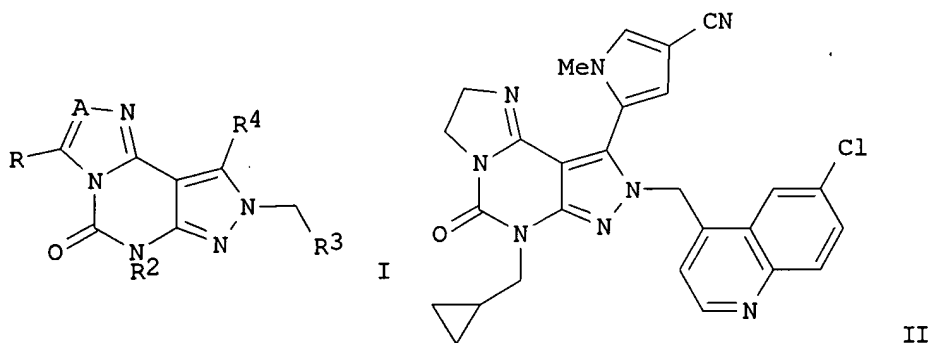
AB Clubroot disease (*Plasmodiophora brassicae*) is controlled with sulfamoyl compds. I (R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, etc.; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, etc.). I (R1 = R2 = R3 = Me, R4 = Cl, R5 = R7 = F, R6 = R8 = Y = H) (at 200 ppm) showed  $\geq 60\%$  inhibition of *Plasmodiophora brassicae* in Chinese cabbage. Formulation examples are given.

L11 ANSWER 5 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:261549 MARPAT  
 TITLE: Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivatives as glutamate racemase inhibitors

INVENTOR(S): Basarab, Gregory S.; Eyermann, Charles J.;  
 Gowravaram, Madhusudhan R.; Green, Oluyinka;  
 Kiely, Andrew; MacPherson, Lawrence J.;  
 Morningstar, Marshall L.; Thanh, Nguyen  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016929	A1	20050224	WO 2004-GB3464	20040812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-495615P	20030815
GI				



AB Title compds. represented by the formula I [wherein A = N or (un)substituted C; R = H, halo, (un)substituted alkyl, sulfide, etc.; R<sub>2</sub> = H, (un)substituted (cyclo)alkyl, alkenyl, aryl, etc.; R<sub>3</sub> = (un)substituted hetero(bi)cyclic ring; and pharmaceutically acceptable salts thereof] were prepared as glutamate racemase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 6-chlorouracil with cyclopropylmethyl bromide. I showed inhibition of glutamate racemase with IC<sub>50</sub> values of less than 400 μM. Thus, I and their pharmaceutical compns. are useful as glutamate racemase inhibitors for the treatment or prophylaxis of H. pylori infection.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

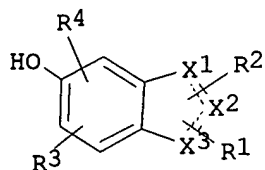
## RE FORMAT

L11 ANSWER 6 OF 29 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 142:198073 MARPAT  
 TITLE: Preparation of heterocyclic compounds useful as  
 malonyl-CoA decarboxylase inhibitors  
 INVENTOR(S): Cheng, Jie Fei; Nguyen, Bao Ngoc; Liu, Xuewei;  
 Lopaschuk, Gary D.; Dyck, Jason R.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 18 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026969	A1	20050203	US 2004-900958	20040728
WO 2005011670	A1	20050210	WO 2004-US24285	20040728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,  
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
 GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,  
 KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
 MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,  
 SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,  
 VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,  
 DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL,  
 PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
 GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-492030P 20030801  
 GI



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AB The present invention provides methods for the use of compds. I [X1, X2, X3 = O, N, NH, NR5, S, C; R1, R2 = H, halogen, substituted C1-6-alkyl, substituted C1-6-alkenyl, substituted C1-6-alkynyl, alkoxy, (un)substituted Ph, aryl, (un)substituted heteroaryl, NHCONR5R6, COR5, CONR5R6, S(O)nR5, SO2NR5R6; R3, R4 = H, Br, Cl, F, I, OH, OMe, CO2H, CO2R5, CONR5R6, S(O)nR5, SO2NR5R6, substituted C1-6-alkyl, C1-6-alkoxy, (un)substituted Ph, aryl, heteroaryl; R5, R6 = H, (un)substituted C1-6-alkyl, (un)substituted Ph, aryl, heteroaryl], its enantiomers, diastereomers, tautomers, or physiologically acceptable salts or prodrugs, pharmaceutical compns. containing the same, and methods for the prophylaxis, management and treatment of metabolic diseases and diseases modulated by MCD inhibition. Thus, benzofuran I

[X1 = CC(:O)NHC6H3(OMe)2-3,4, X2 = CH, X3 = O, R3 = 4-Br, R4 = 6-Br] was prepared from 5-methoxybenzofuran-2-carboxylic acid via regioselective bromination at C(3), decarboxylation, debromination-carboxylation at C(3), O-demethylation, regioselective dibromination and amidation with 3,4-dimethoxyaniline. The compds. disclosed in this invention are useful for the prophylaxis, management and treatment of diseases involving in malonyl-CoA regulated glucose/fatty acid metabolism pathway. The inhibitory activity of I vs. malonyl-CoA decarboxylase was determined [Ki = 31.6 - 4750.2 µM]. In particular, these compds. and pharmaceutical composition containing the same are indicated in the prophylaxis, management and treatment of cardiovascular diseases, diabetes, cancer and obesity.

L11 ANSWER 7 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:225308 MARPAT  
 TITLE: Preparation of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3β  
 INVENTOR(S): Von Matt, Peter; Wagner, Juergen  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072062	A2	20040826	WO 2004-EP1323	20040212
WO 2004072062	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2513613 AA 20040826 CA 2004-2513613 20040212 EP 1597250 A2 20051123 EP 2004-710393 20040212 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: GB 2003-3319 20030213 WO 2004-EP1323 20040212				

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; Ra = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Rb = H, halo, alkyl, alkoxy; R = II, III (wherein R1, R3 = heterocyclyl, XRcY; X = a direct bond, O, S, NR11; R11 = H, alkyl; Rc = (un)substituted alkylene; Y = OH, (un)substituted NH2, etc.; R2, R4 = H, halo, alkyl, alkoxy, CF3, CN, NO2, NH2)], were prepared E.g., a multi-step synthesis of IV which showed, for example, IC50 of 5.4 nM

against PKC $\theta$  and IC50 of 18 nM against GSK-3 $\beta$ , is given.  
The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 8 OF 29 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 141:106488 MARPAT  
TITLE: Preparation of pyrazolo[3,4-d]pyrimidine  
derivatives for treatment of H.pylori infection  
INVENTOR(S): Basarab, Gregory; Eyermann, Joseph; Gowravaram,  
Madhusudhan; Green, Oluyinka; Macpherson,  
Lawrence; Morningstar, Marshall; Nguyen, Thanh  
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.  
SOURCE: PCT Int. Appl., 129 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056831	A1	20040708	WO 2003-SE2033	20031219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003288869 A1 20040714 AU 2003-288869 20031219 EP 1585748 A1 20051019 EP 2003-781250 20031219 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: SE 2002-3825 20021220 WO 2003-SE2033 20031219 GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I-IV [wherein X = S, O, or NR20, with exclusions; W = S, O, or NR20, with an exclusion; R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = (hetero)cyclyl; R4 = (hetero)cyclyl; R20 = H, CN, (un)substituted alkyl, etc.] or pharmaceutically acceptable salts thereof are prepared for the treatment or prophylaxis of H. pylori infection. For example, the compound V was prepared in a multi-step synthesis. These compds. showed IC50 of <400  $\mu$ M against glutamate racemase.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

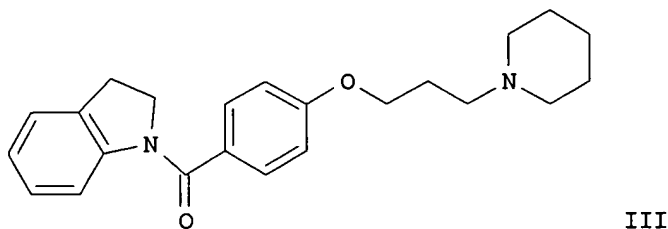
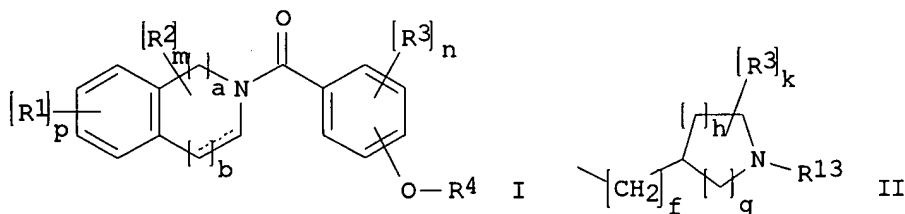
L11 ANSWER 9 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

10/663335

ACCESSION NUMBER: 140:375087 MARPAT  
 TITLE: Preparation of bicyclic benzamides as histamine H3  
 receptor ligands useful in the treatment of  
 neurological diseases  
 INVENTOR(S): Best, Desmond John; Orlek, Barry Sidney  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037788	A1	20040506	WO 2003-EP11650	20031020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278119	A1	20040513	AU 2003-278119	20031020
EP 1554243	A1	20050720	EP 2003-769430	20031020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505623	T2	20060216	JP 2005-501524	20031020
PRIORITY APPLN. INFO.:			GB 2002-24557	20021022
			GB 2003-6328	20030319
			WO 2003-EP11650	20031020

GI



AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)<sub>q</sub>NR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 = (un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pK<sub>b</sub> ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 10 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:357119 MARPAT

TITLE: Preparation of amino morpholinopurine derivatives for treating interleukin-12 overproduction-related disorders

INVENTOR(S): Sun, Lijun; Ono, Mitsunori; Wada, Yumiko; Ying, Weiwen; Przewloka, Teresa; Kostik, Elena

PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

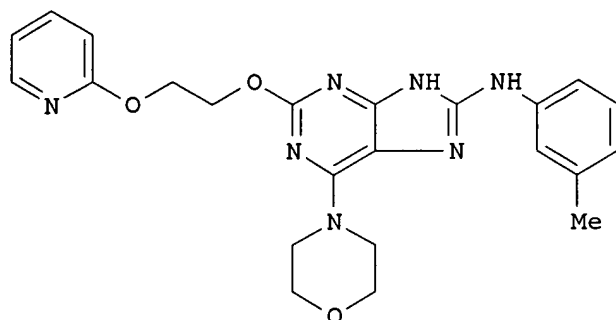
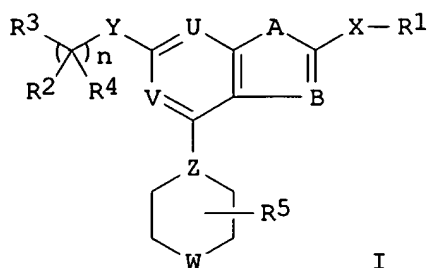
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035740	A2	20040429	WO 2003-US32546	20031014
WO 2004035740	A3	20041216		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2502356	AA	20040429	CA 2003-2502356	20031014
US 2004198725	A1	20041007	US 2003-686505	20031014
EP 1556140	A2	20050727	EP 2003-776373	20031014
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006507273	T2	20060302	JP 2004-545265	20031014
PRIORITY APPLN. INFO.:			US 2002-418984P	20021015
			WO 2003-US32546	20031014

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AB The title compds. I [R1 = (hetero)aryl; R2, R4 = H, halo, CN, alkyl, etc.; R3 = H, halo, CN, alkyl, alkenyl, alkynyl, aryl, heteroaryl, (hetero)cyclyl, etc.; R5 = H or alkyl; n = 0-6; A = O, S, SO, SO2, etc.; B = N or CRa; X = O, S, SO, SO2, etc.; Y = a bond, CO, C=NRb, O, S, SO, SO2, etc.; Z = N or CH; U, V = N or CRa; W = O, S, NRC; Ra = H, alkyl, aryl, acyl, sulfonyl, etc.; Rb = H, alkyl, (hetero)aryl, (hetero)cyclyl; Rc = H, alkyl, aryl, acyl, sulfonyl; with provisos] were prepared for treating interleukin-12 overproduction-related disorders. Thus, reaction of 5,6-diamino-2-[2-(pyridin-2-yloxy)-ethoxy]-4-morpholinopyrimidine (preparation given) with m-tolyl isocyanate yielded compound II. The prepared compds. were assayed on human PBMC or THP-1 cell and showed IC50 < 1 nM.

L11 ANSWER 11 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:303525 MARPAT

TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

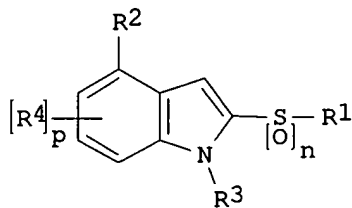
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,				

Searcher : Shears 571-272-2528

10/663335

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,  
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,  
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG  
CA 2498946 AA 20040401 CA 2003-2498946 20030908  
AU 2003267063 A1 20040408 AU 2003-267063 20030908  
EP 1542973 A1 20050622 EP 2003-747986 20030908  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
BR 2003014363 A 20050719 BR 2003-14363 20030908  
JP 2006502177 T2 20060119 JP 2004-537019 20030908  
US 2004072844 A1 20040415 US 2003-663335 20030916  
NO 2005000664 A 20050415 NO 2005-664 20050208  
US 2002-411480P 20020917  
WO 2003-EP9969 20030908  
PRIORITY APPLN. INFO.:

GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:365221 MARPAT

TITLE: Preparation of amino acid derivatives as antidiabetic agents

INVENTOR(S): Maruta, Katsunori; Nagata, Ryu; Iwai, Kiyotaka; Ushiroda, Kantaro; Yoshida, Kozo

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

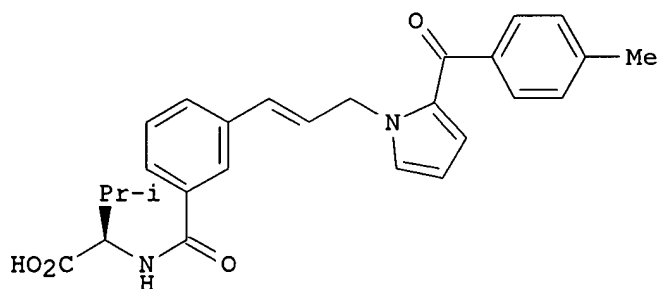
LANGUAGE: Japanese

Searcher : Shears 571-272-2528

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091211	A1	20031106	WO 2003-JP3935	20030328
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003220896	A1	20031110	AU 2003-220896	20030328
PRIORITY APPLN. INFO.:			JP 2002-90206	20020328
			WO 2003-JP3935	20030328

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I

AB The title compds. with general formula of R1-X1-Ar1-W1-Z-W2-Ar2 [wherein ring Z = (un)substituted pyrrole, pyrazole, imidazole, triazole, indole, indazole, or benzimidazole; W2 = a single bond, SO, SO2, (un)substituted CONH, SO2NH, alkylene, alkenylene, or alkynylene; Ar2 = (un)substituted aryl or heteroaryl; W1 = (un)substituted alkylene, alkenylene, alkynylene, or Y-W3, etc.; Y = O, S, or (un)substituted NH; W3 = (un)substituted alkylene, alkenylene, or alkynylene; Ar1 = (un)substituted arylene or heteroarylene; X1 = SO2, OCO2, SO3, (un)substituted CONHSO2, NHSO2, NHCO, SO2NHCO, SO2NH, CONH, OCONH, NHCONH, -NH-C(NH2)=N-, NHCO2, or Y2-W4; Y2 = S, (un)substituted NHCO, CONH, CH=NO, NH, -N(CO2H)-, -N(COH)-, -N(SO2H)-, or -N(CONH2)-; W4 = (un)substituted alkylene; R1 = (un)substituted alkyl, alkoxy, alkenyl, or alkynyl, etc.] and prodrugs or pharmaceutically acceptable salts thereof are prepared. The title compds. have an effect of activating PPAR $\alpha$ , PPAR $\gamma$ , or controlling the activation of PPAR $\alpha$ / $\gamma$ , and improve insulin resistance, and are useful for the treatment of diabetes (no data). For example, the compound I was prepared in a multi-step synthesis. I showed agonist activities of 20.2 and 4.2 at the concentration of 10  $\mu$ M against human PPAR $\alpha$  and PPAR $\gamma$ , resp.

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:271682 MARPAT

TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF- $\alpha$  converting enzyme for treatment of inflammatory disorders

INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 344 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

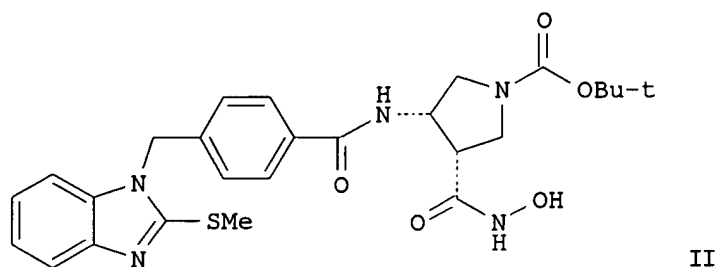
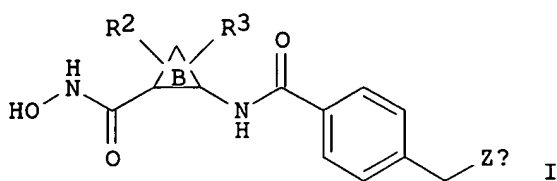
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024899	A2	20030327	WO 2002-US29685	20020916
WO 2003024899	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003139388	A1	20030724	US 2002-244626	20020916
US 6740649	B2	20040525		
EP 1427408	A2	20040616	EP 2002-775865	20020916
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-322630P	20010917
			WO 2002-US29685	20020916

GI



AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF- $\alpha$  converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxylate (100%). BOC-protection (64%), debenzoylation (96%), resolution of the (3S,4S)-isomer with (S)- $\alpha$ -methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH $\cdot$ HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of  $\leq 10$   $\mu$ M. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

L11 ANSWER 14 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:55866 MARPAT

TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors for treatment of inflammatory conditions

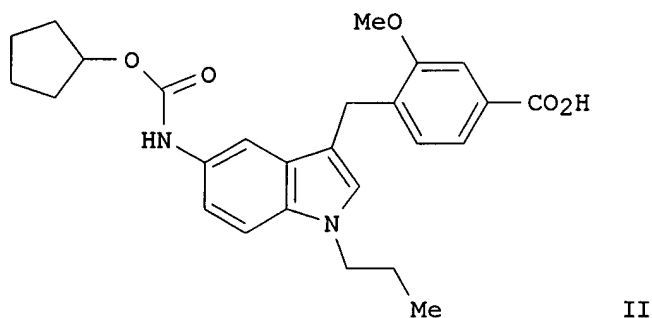
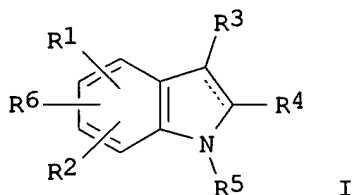
INVENTOR(S): Seehra, Jasbir S.; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren;

10/663335

PATENT ASSIGNEE(S): Knopf, John L.  
 SOURCE: Genetics Institute, LLC, USA  
 U.S., 57 pp., Cont.-in-part of U. S. Ser. No.  
 256,062, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6500853	B1	20021231	US 2000-686616	20001011
PRIORITY APPLN. INFO.:			US 1998-113674P	19980228
			US 1999-256062	19990224

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AB Title compds. I [wherein R1 and R6 = independently H, halo, CF3, alkyl, alkylthio, alkoxy, CN, NO2, NH2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amido, carbamido, sulfonyl, etc.; R2 = H, halo, CF3, OH, alkyl, alkoxy, CHO, CN, NO2, (un)substituted amino, or alkylsulfonyl; R3 = CO2H, OPO3H2, SO3H, etc.; R4 = H, CF3, alkyl, alkoxy, (alkyl)cycloalkyl, CHO, halo, etc.; R5 = alkyl, alkoxy, (alkyl)cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as phospholipase enzyme inhibitors. For example, 5-nitroindole was C3-alkylated (55%) with Me 4-(bromomethyl)-3-methoxybenzoate in dioxane, N-alkylated (57%) with 1-iodopropane in a solution of THF and NaH, and converted to the amine (80%) by hydrogenation using Pt/C. The amine was converted to the carbamate (39%) by addition of cyclopentyl chloroformate in CH2Cl2 and 4-methylmorpholine, and the resultant ester was hydrolyzed to yield II (71%). The latter inhibited cytosolic phospholipase A2 (cPLA2) by 50% at a concentration of 170  $\mu$ M in a coumarin assay and reduced footpad volume by 16.61% at a dose of 5 mg/Kg IV in a carrageenan-induced footpad

Searcher : Shears 571-272-2528

edema test on rats. Thus, I are useful for treatment of inflammatory conditions, such as arthritis, inflammatory bowel disease, and asthma (no data).

REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:353307 MARPAT

TITLE: Preparation of 2-(benzylthio)benzimidazoles and analogs as anti-Helicobacter pylori agents

INVENTOR(S): Abedi, Joseph; Carcanague, Daniel; Kuehler, Thomas; Shue, Youe-Kong; Wuonola, Mark

PATENT ASSIGNEE(S): Pharmacia AB, Swed.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

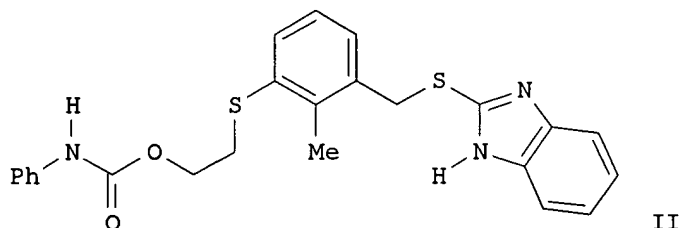
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034573	A1	20010517	WO 2000-SE2192	20001108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			SE 1999-4044	19991109

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AB R1Z1Z(CH2)nSR2 [I; R1 = (oxa)alkyl, RNHCO2CH2CH2, R3R4NCH2CH2, R5NHCOCH2, etc.; R = alkyl, (hetero)aryl(alkyl), etc.; R2 = e.g., 2-benzimidazolyl; R3 = H and R4 = H, (hetero)aryl, etc.; NR3R4 = heterocyclyl; R5 = H, (cyclo)alkyl, (hetero)arylalkyl, etc.; Z = substituted 1,3-phenylene; Z1 = O, S, (alkyl)imino, etc.; n = 0-5] were prepared Thus, 2,3-Me(H2N)C6H3CO2H was converted in 3 steps to Me 3-chloromethyl-2-methylphenylthioacetate which was thioetherified by 2-mercaptobenzimidazole and the reduced product carbamylated by PhNCO to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR

10/663335

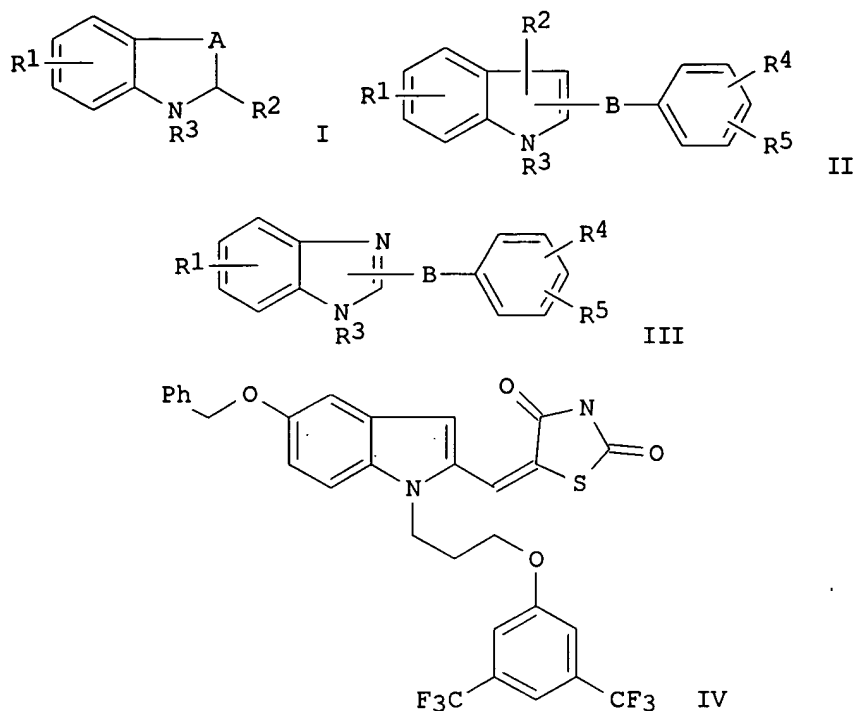
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L11 ANSWER 16 OF 29 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 131:199620 MARPAT  
 TITLE: Preparation of indole derivatives as phospholipase  
 enzyme inhibitors  
 INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean;  
 McKew, John; Kaila, Neelu; Chen, Lihren  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2322163	AA	19990902	CA 1999-2322163	19990217
AU 9932970	A1	19990915	AU 1999-32970	19990217
BR 9909242	A	20001114	BR 1999-9242	19990217
TR 200002445	T2	20001221	TR 2000-200002445	19990217
EP 1062216	A1	20001227	EP 1999-936073	19990217
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 2002504551	T2	20020212	JP 2000-533428	19990217
EE 200000522	A	20020215	EE 2000-522	19990217
HR 2000000513	A1	20011231	HR 2000-513	20000731
NO 2000004217	A	20001023	NO 2000-4217	20000823
BG 104781	A	20011031	BG 2000-104781	20000919
PRIORITY APPLN. INFO.:			US 1998-30102	19980225
			WO 1999-IS3388	19990217
			WO 1999-US3388	19990217

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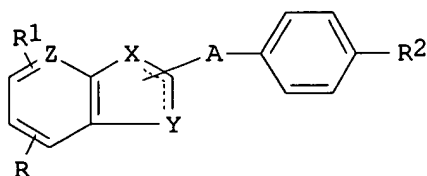
AB Indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (OCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C.tplbond.C)<sub>n</sub>, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO, O, S, or N(R<sub>6</sub>); R<sub>1</sub> and R<sub>5</sub> = independently H, OH, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R<sub>2</sub> and R<sub>3</sub> = independently H, CO<sub>2</sub>H, COR<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, (CH<sub>2</sub>)<sub>n</sub>W(CH<sub>2</sub>)<sub>m</sub>ZR<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>WR<sub>5</sub>, ZR<sub>5</sub>, C<sub>1</sub>-10 alkyl, alkenyl, or substituted aryl; R<sub>4</sub> = H, OH, OR<sub>6</sub>, SR<sub>6</sub>, CN, COR<sub>6</sub>, NHR<sub>6</sub>, CO<sub>2</sub>H, COR<sub>6</sub>R<sub>7</sub>, NO<sub>2</sub>, (un)substituted sulfamidocarbonyl, C<sub>1</sub>-5 alkyl, alkenyl, or substituted aryl; R<sub>6</sub>, R<sub>7</sub> = H, C<sub>1</sub>-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH<sub>2</sub>, CH=CH, C.tplbond.C, or N(R<sub>6</sub>); X = O, S, N(R<sub>6</sub>); Z = CH<sub>2</sub>, O, S, N(R<sub>6</sub>), CO, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-([3,5-bis(trifluoromethyl)phenoxy]methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedione-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

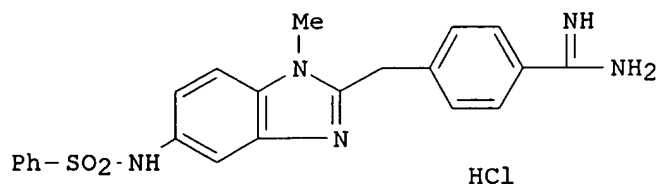
L11 ANSWER 17 OF 29 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 131:157771 MARPAT  
 TITLE: Preparation of five-membered, benzo-condensed heterocycles as antithrombotics  
 INVENTOR(S): Ries, Uwe; Haeu, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany  
 SOURCE: PCT Int. Appl., 250 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19804085	A1	19990805	DE 1998-19804085	19980203
DE 19834325	A1	20000217	DE 1998-19834325	19980730
CA 2319494	AA	19990812	CA 1999-2319494	19990128
AU 9927201	A1	19990823	AU 1999-27201	19990128
EP 1060166	A1	20001220	EP 1999-907437	19990128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002502844	T2	20020129	JP 2000-530502	19990128
PRIORITY APPLN. INFO.:			DE 1998-19804085	19980203
			DE 1998-19834325	19980730
			WO 1999-EP537	19990128

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I



HCl

II

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2, 5-C6H5SO2N(CH2COOEt), 5-C6H5SO2N(CH3), 5-C6H5N(CH2CH2CH2COOEt)CO, 5-C6H5, CH3N(C6H5)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EtO; R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compds in which R2 is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:157761 MARPAT

TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Huel, Norbert; Mihm, Gerhard; Priepeke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203
CA 2319494	AA	19990812	CA 1999-2319494	19990128
WO 9940072	A1	19990812	WO 1999-EP537	19990128

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9927201 A1 19990823 AU 1999-27201 19990128  
 EP 1060166 A1 20001220 EP 1999-907437 19990128

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002502844 T2 20020129 JP 2000-530502 19990128  
 US 6114532 A 20000905 US 1999-243200 19990202  
 DE 1998-19804085 19980203  
 US 1998-77694P 19980312  
 DE 1998-19834325 19980730  
 WO 1999-EP537 19990128

PRIORITY APPLN. INFO.:

AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidinium hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidinium dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidinium hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidinium hydrochloride were prepared by standard methods. The ED<sub>50</sub> in  $\mu$ M for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

L11 ANSWER 19 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:44738 MARPAT

TITLE: Preparation of pyridones as herbicides

INVENTOR(S): Yamaguchi, Mikio; Ito, Yoshihiro; Shibayama, Atsushi; Yamaji, Mitsuhiro; Hanai, ryo; Uotsu, Sota; Sadohara, Hideo

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 117 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

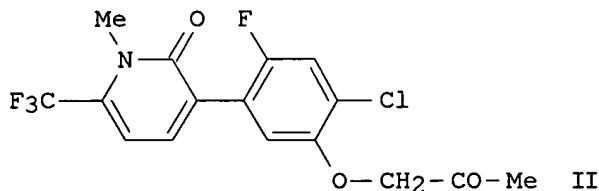
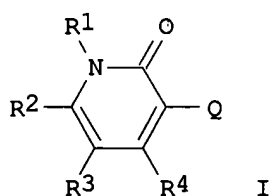
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11140054	A2	19990525	JP 1998-219658	19980717
PRIORITY APPLN. INFO.:			JP 1997-220218	19970731

GI



AB The title compds. I [R1 = H, alkyl, etc.; R2 = haloalkyl, etc.; R3, R4 = H, alkyl, etc.; Q = (un)substituted Ph, etc.] are prepared The title compound II (at 10 g/area ) gave  $\geq 90\%$  control of Amaranthus retroflexus.

L11 ANSWER 20 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:44659 MARPAT

TITLE: Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z receptor antagonists

INVENTOR(S): Baxter, Andrew; Brough, Stephen; Mcinally, Thomas; Mortimore, Michael; Cladingboel, David

PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

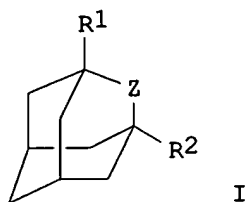
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929660	A1	19990617	WO 1998-SE2189	19981201
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2312889	AA	19990617	CA 1998-2312889	19981201
AU 9917914	A1	19990628	AU 1999-17914	19981201
AU 746716	B2	20020502		
EP 1036058	A1	20000920	EP 1998-962752	19981201
EP 1036058	B1	20030312		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9813368	A	20001003	BR 1998-13368	19981201
TR 200001558	T2	20001023	TR 2000-200001558	19981201
EE 200000320	A	20010815	EE 2000-200000320	19981201
JP 2001525391	T2	20011211	JP 2000-524257	19981201
RU 2197447	C2	20030127	RU 2000-117580	19981201
AT 234274	E	20030315	AT 1998-962752	19981201
PT 1036058	T	20030731	PT 1998-962752	19981201
NZ 504375	A	20030829	NZ 1998-504375	19981201
ES 2195433	T3	20031201	ES 1998-962752	19981201
US 6242470	B1	20010605	US 1999-230511	19990126
NO 2000002785	A	20000801	NO 2000-2785	20000531
HK 1028594	A1	20030905	HK 2000-107989	20001212
PRIORITY APPLN. INFO.:			SE 1997-4545	19971205
			WO 1998-SE2189	19981201

GI



AB Title compds. [I; R1 = Z1CONHR; R = (un)substituted Ph, -benzothiazolyl, -indolyl, -pyridyl, etc.; R2 = H or halo; Z = CH2 or O; Z1 = CH2, CH2CH2, OCH2, NHCH2] were prepared Thus, 1-adamantaneacetyl chloride was amidated by 6-amino-2-methylbenzothiazole to give I (R1 = CH2CONHR, R = 2-methyl-6-benzothiazolyl, R2 = H, Z = CH2). Data for biol. activity of I were given.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 128:3699 MARPAT

TITLE: Preparation of indolyl-substituted uracil derivatives as herbicides

INVENTOR(S): Takehi, Takayoshi; Miyazaki, Masahiro; Tamaru, Masatoshi; Yamaji, Yoshihiro; Hanai, Ryo; Uotsu, Sota; Sadohara, Hideo

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SOURCE: PCT Int. Appl., 100 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

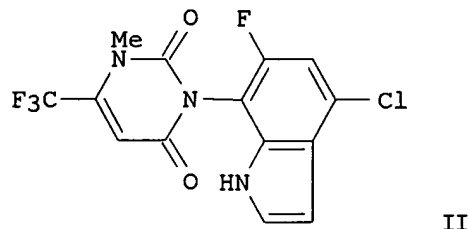
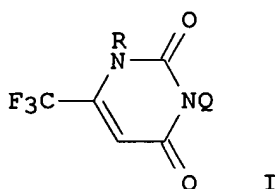
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742188	A1	19971113	WO 1997-JP1535	19970507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726512	A1	19971126	AU 1997-26512	19970507
JP 10053584	A2	19980224	JP 1997-132817	19970507
PRIORITY APPLN. INFO.:			JP 1996-137501	19960508
			WO 1997-JP1535	19970507

GI



AB The title compds. I [R = alkyl, etc.; Q = indolyl (2 generic structures given)] are prepared The title compound II (at 10 g) gave  $\geq 90\%$  control of *Echinochloa oryzicola*, *Monochoria vaginalis*, and *Scirpus juncoides*.

L11 ANSWER 22 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:275859 MARPAT

TITLE: Preparation of indolylthiazolidinediones and analogs as antidiabetics

INVENTOR(S): Ohara, Yoshio; Suzuki, Mikio; Ohdoi, Keisuke; Miyachi, Nobuhide; Kato, Katsuhiko; Kobayashi, Tetsuya; Shikada, Ken-ichi; Kitahara, Masaki; Naito, Takeshi; et al.

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 280 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

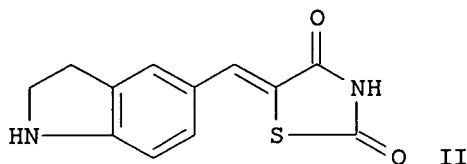
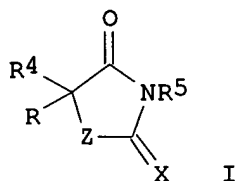
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626207	A1	19960829	WO 1996-JP403	19960222
W: AU, CA, CN, CZ, FI, HU, KR, LT, LV, MX, NO, NZ, RO, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647311	A1	19960911	AU 1996-47311	19960222
JP 09235284	A2	19970909	JP 1996-34492	19960222
ZA 9601478	A	19960828	ZA 1996-1478	19960223
PRIORITY APPLN. INFO.:			JP 1995-34963	19950223
			JP 1995-336391	19951225
			WO 1996-JP403	19960222

GI



AB Title compds. [I; R = R<sub>1</sub>CR<sub>6</sub>R<sub>7</sub>; R<sub>1</sub> = (un)substituted indolyl; R<sub>4</sub> = H or alkyl; R<sub>5</sub> = H or CH<sub>2</sub>CO<sub>2</sub>H; R<sub>6</sub>, R<sub>7</sub> = H, (cyclo)alkyl; R<sub>4</sub>R<sub>7</sub> = bond; X = O, S, NH; Z = O or S] were prepared as hypoglycemics and aldose reductase inhibitors. Thus, 5-formylindole (preparation given) was condensed with thiazolidine-2,4-dione to give title compound II. Data for in vivo biol. activity of I were given.

L11 ANSWER 23 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:195654 MARPAT

TITLE: Preparation of (azolyphenoxy)alkyl aryl or heterocyclyl sulfone derivatives having aldose reductase-inhibitory activity as hypolipidemics, hypoglycemics, and antiobesity agents

INVENTOR(S): Yanagisawa, Hiroaki; Fujita, Takeshi; Fujimoto, Koichi; Wada, Kunio; Oguchi, Minoru; Yoshioka, Takao; Fujiwara, Toshihiko; Horikoshi, Hiroyoshi

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

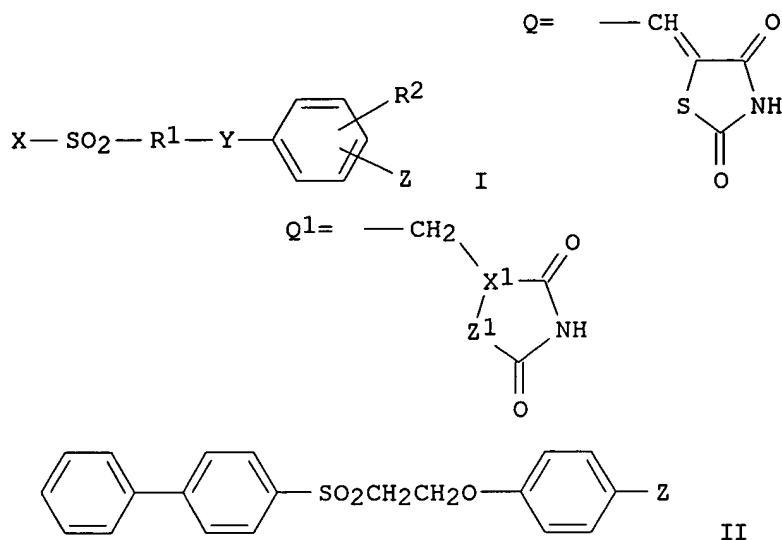
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08157461	A2	19960618	JP 1994-303810	19941207
PRIORITY APPLN. INFO.:			JP 1994-303810	19941207

GI





AB The title compds. (I; R1 = C1-6 alkylene; R2 = H, C1-6 alkyl, C1-4 alkoxy or alkylthio, halo, NO<sub>2</sub>, NH<sub>2</sub>, C1-4 alkylamino, di(C1-4 alkyl)amino, or C6-10 aryl, heterocyclyl, or C7-11 aralkyl each optionally having 1-3 substituents; X = C6-10 aryl or heterocyclyl optionally having 1-3 substituents; Y = O, S, NR<sub>3</sub>; wherein R<sub>3</sub> = H, C1-6 alkyl, C1-8 acyl; Z = Q, Q1; wherein X1 = C and Z1 = O or S; or X1 = N and Z = O), which are useful for improving hyperlipidemia, hyperglycemia, obesity, impaired glucose tolerance, insulin resistance, and diabetes complications, and thereby treating or preventing impaired glucose tolerance-caused diseases such as hypertension, osteoporosis, and cachexia and diabetes complications such as retinopathy, kidney disease, nerve diseases, cataract, and arteriosclerosis, are prepared Thus, 19 g 4-[2-(4-biphenylsulfonyl)ethoxy]benzaldehyde and 2,4-thiazolidinedione were suspended in ethanol, treated with 2 mL piperidine, and refluxed for 16 h to give 23.6 g thiazolidinedione derivative (II; Z = Q), which (10 g) as hydrogenated in the presence of 5% Pd-C in AcOH at 90° for 20 h to give 2.93 g [(biphenylsulfonyl)ethoxy]benzyl]thiazolidinedione II (Z = Q1; wherein X1 = C, Z1 = S).

L11 ANSWER 24 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:256510 MARPAT

TITLE: Preparation of indolylcarbonylguanidines, benzofurylcarbonylguanidines, benzothienylcarbonylguanidines, benzimidazolylcarbonylguanidines, and related compounds as drugs and diagnostic agents.

INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan Robert; Scholz, wolfgang; Albus, Udo; Crause, Peter

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

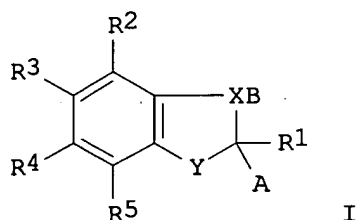
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 639573	A1	19950222	EP 1994-111765	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4326005	A1	19950209	DE 1993-4326005	19930803
DE 4414316	A1	19951026	DE 1994-4414316	19940425
PRIORITY APPLN. INFO.:			DE 1993-4326005	19930803
			DE 1994-4414316	19940425

GI



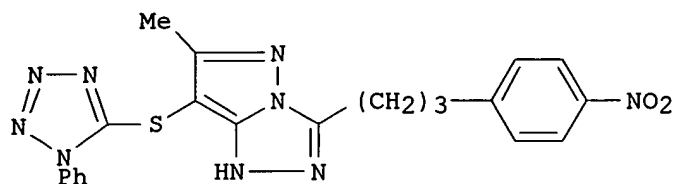
AB Title compds. [I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, ≤2 of R1-R6 = cyano, NO2, N3, alkoxy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid guanidide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na<sup>+</sup>/H<sup>+</sup>-exchanger with IC50 = 3 + 10-8 M.

L11 ANSWER 25 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:85970 MARPAT  
 TITLE: Manufacture of thio ethers  
 INVENTOR(S): Wright, Charles W.; Potenza, Joan C.; Leary, John E., Jr.; Kim, Chang K.  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: U.S., 25 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5405969	A	19950411	US 1993-165765	19931210
EP 657424	A1	19950614	EP 1994-203552	19941206
EP 657424	B1	19980610		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07224028	A2	19950822	JP 1994-307752	19941212
PRIORITY APPLN. INFO.:			US 1993-165765	19931210

GI



I

AB A thioether having the formula ASR is prepared by reaction of AH, where A comprises a C bonded to the H which C is either capable of ionizing to a nucleophilic state or is conjugated to such an atom, with HSR or RSSR, where R is a substituted or unsubstituted aryl or alicyclic group, said group being carbocyclic or heterocyclic, or thiocarbonyl, in the presence of a base and an oxidizing agent that is free of reactive halogen and that is capable of oxidizing HSR to RSSR. The process provides a more efficient, more cost-effective, and more environmentally favorable method for the manufacture of thio

ether-containing

photog. couplers. Environmentally hazardous halogenated wastes which must be disposed of are not generated. As an example, I was prepared from 6-methyl-3-[3-(4-nitrophenyl)propyl]-1H-pyrazolo[5,1-c]-1,2,4-triazole and phenyltetrazolethiol by use of Et<sub>3</sub>N and N-methylmorpholine N-oxide.

L11 ANSWER 26 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

122:239545 MARPAT

TITLE:

Preparation of 4-bicyclyldihydropyridines as cardiovascular agents.

INVENTOR(S):

Straub, Alexander; Goldmann, Siegfried; Stoltefuss, Juergen; Bechem, Martin; Dembrowsky, Klaus; Gross, Rainer; Heibisch, Siegbert; Huetter, Joachim; Rounding, Howard-Paul

PATENT ASSIGNEE(S):

Bayer A.-G., Germany

SOURCE:

Eur. Pat. Appl., 95 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 630895	A1	19941228	EP 1994-109019	19940613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4321030	A1	19950105	DE 1993-4321030	19930624
US 5545646	A	19960813	US 1994-261585	19940617
CA 2126397	AA	19941225	CA 1994-2126397	19940621
JP 07033774	A2	19950203	JP 1994-160800	19940621
US 5721248	A	19980224	US 1996-644880	19960510
PRIORITY APPLN. INFO.:			DE 1993-4321030	19930624
			US 1994-261585	19940617

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

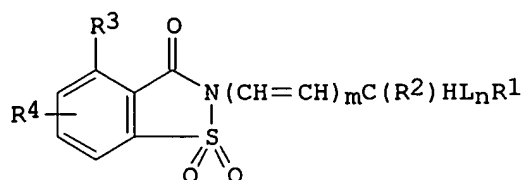
AB Title compds. [I; R1, R4 = H, amino, cyano, formyl, CF3, (substituted) alkyl; R2 = cyano, carbamoyl, alkoxycarbonyl, etc.; R3 = cyano, NO2, formyl, (substituted) alkoxycarbonyl, carbamoyl; R3R4 = COECH2; E = O, S, (CH2)n; n = 1,2; R5 = Q1-Q4, etc.; R24 = H, halo, alkyl, alkoxy; R25 = (cyclic) (unsatd.) (O- or S-interrupted) (substituted) hydrocarbyl; L = O, S, NH; V = O, S; X = N, NO], were prepared having Ca agonist/antagonist activity (no data). Thus, Et 5-cyano-1,4-dihydro-2,6-dimethyl-4-(4-oxo-2-phenyl-4H-1-benzothiopyran-8-yl)-3-pyridinecarboxylate was heated with NaBH4 in Me3COH/MeOH to give title compound II.

L11 ANSWER 27 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:191707 MARPAT  
 TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors  
 INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton  
 PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA  
 SOURCE: Eur. Pat. Appl., 77 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 542372	A1	19930519	EP 1992-203469	19921112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5236917	A	19930817	US 1991-793033	19911115
AU 9225340	A1	19930520	AU 1992-25340	19920925
AU 654581	B2	19941110		
CA 2079822	AA	19930516	CA 1992-2079822	19921005
NO 9204401	A	19930518	NO 1992-4401	19921113
NO 303119	B1	19980602		
HU 66873	A2	19950130	HU 1992-3566	19921113
IL 103748	A1	19970218	IL 1992-103748	19921113
RU 2101281	C1	19980110	RU 1992-4381	19921113
JP 05194444	A2	19930803	JP 1992-305295	19921116
US 5371074	A	19941206	US 1993-67637	19930524
US 5650422	A	19970722	US 1994-270964	19940705
US 5596012	A	19970121	US 1995-449152	19950524
US 5874432	A	19990223	US 1997-803297	19970220
PRIORITY APPLN. INFO.:			US 1991-793033	19911115
			US 1989-347125	19890504
			US 1989-347126	19890504
			US 1990-514920	19900426
			US 1993-67637	19930524
			US 1994-270964	19940705

GI



I

AB The title compds. I [L = O, S, SO, SO<sub>2</sub>; R<sub>1</sub> = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R<sub>2</sub> = H, lower alkoxy carbonyl, Ph, PhS; R<sub>3</sub> = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxy carbonyl, CN, etc.; R<sub>4</sub> = H or 1-3 substituents selected from halogen, CN, NO<sub>2</sub>, NH<sub>2</sub>, etc.; m, n = 0, 1; when m = 0 then R<sub>1</sub> can only be heterocyclyl and CHR<sub>2</sub> can only be bonded to a ring N of R<sub>1</sub>; when m = 0, n = 1 and L is O, S, or SO, then R<sub>2</sub>-R<sub>4</sub> = H; when m = 0, n = 1, L is S, R<sub>2</sub>, R<sub>4</sub> = H and R<sub>3</sub> = halogen; when m = 0, n = 1, and L is SO or SO<sub>2</sub> then R<sub>2</sub> is lower alkoxy carbonyl and R<sub>3</sub> = R<sub>4</sub> = H while R<sub>1</sub> ≠ substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for α-chymotrypsin.

L11 ANSWER 28 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:255341 MARPAT

TITLE: Preparation of N-substituted tetrahydronaphthyl-N-hydroxyureas and analogs as 5-lipoxygenase inhibitors

INVENTOR(S): Adams, Jerry Leroy; Garigipati, Ravi Shanker; Griswold, Don Edgar; Schmidt, Stanley James

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

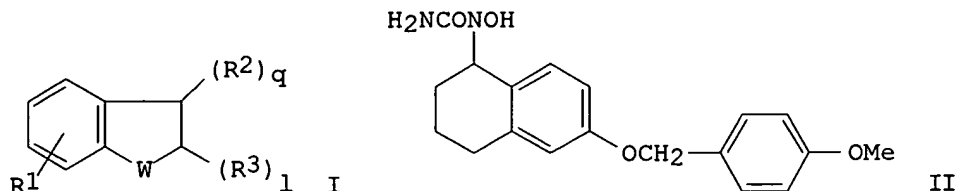
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9114674	A2	19911003	WO 1991-US2010	19910325
WO 9114674	A3	19920109		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2078126	AA	19910928	CA 1991-2078126	19910325
AU 9175875	A1	19911021	AU 1991-75875	19910325
AU 660277	B2	19950622		
EP 522000	A1	19930113	EP 1991-907085	19910325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05505610	T2	19930819	JP 1991-506661	19910325
ZA 9102264	A	19920429	ZA 1991-2264	19910326
PRIORITY APPLN. INFO.:			US 1990-500153	19900327
			US 1990-500179	19900327
			WO 1991-US2010	19910325

GI



AB Title compds. I (R<sub>1</sub> = H, C<sub>1</sub>-10 alkyl, C<sub>1</sub>-10 alkoxy, etc.; R<sub>2</sub>, R<sub>3</sub> = R<sub>4</sub>C:BN(OR<sub>a</sub>), R<sub>4</sub> = (halo)(hydroxy) C<sub>1</sub>-6 alkyl, C<sub>2</sub>-6 alkenyl, (halo)heteroaryl, C<sub>1</sub>-6 alkoxy, R<sub>5</sub>R<sub>6</sub>N wherein R<sub>5</sub> = H, alkyl, R<sub>6</sub> = C<sub>1</sub>-6 alkyl, aryl, PhCH<sub>2</sub>, etc.; B = O, S, R<sub>a</sub> = H, cation, aroyl, C<sub>1</sub>-12 alkoyl; W = CH<sub>2</sub>(CH<sub>2</sub>)<sub>s</sub>, O(CH<sub>2</sub>)<sub>s</sub>, S(CH<sub>2</sub>)<sub>s</sub>, NR<sub>7</sub>(CH<sub>2</sub>)<sub>s</sub>, s = 0-3, R<sub>7</sub> = H, C<sub>1</sub>-4 alkyl, Ph, C<sub>1</sub>-6 alkoyl, aroyl; l = q = 0, 1) or a salt thereof, are prepared I are also analgesics. To 6-hydroxy-1-tetralone was added NaH, followed by 4-(MeO)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Cl and the mixture was heated to 90° for 1 h to give the tetralone derivs. To this in pyridine was added HONH<sub>2</sub>.HCl to give the oxime, which was treated with BH<sub>3</sub>-pyridine and converted to the N-hydroxyamine derivative to which was added Me<sub>3</sub>SiNCO to give after work up the title compound II. II inhibited 5-lipoxygenase with IC<sub>50</sub> of 0.5 μM and an analgesic activity ED<sub>50</sub> of 10 mg/kg.

L11 ANSWER 29 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:66934 MARPAT  
 TITLE: Cosmetics containing oximes for skin protection from UV irradiation  
 INVENTOR(S): Bush, Rodney Dean; Bissett, Donald Lynn; Chatterjee, Ranjit  
 PATENT ASSIGNEE(S): Procter and Gamble Co., USA  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9116034	A1	19911031	WO 1991-US2398	19910409
W: AU, CA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2079485	AA	19911027	CA 1991-2079485	19910409
CA 2079485	C	19990511		
AU 9177565	A1	19911111	AU 1991-77565	19910409
AU 662101	B2	19950824		
EP 611301	A1	19940824	EP 1991-908813	19910409
EP 611301	B1	20030611		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 242625	E	20030615	AT 1991-908813	19910409
ES 2199214	T3	20040216	ES 1991-908813	19910409
US 5364617	A	19941115	US 1992-973597	19921109
PRIORITY APPLN. INFO.:				
			US 1990-514998	19900426
			US 1991-657847	19910225

10/663335

WO 1991-US2398 19910409

AB Photoprotective compns. which are useful for topical application to prevent sunburn and sun-induced premature aging of the skin caused by acute or chronic exposure to UV light, comprise chelating agents,  $R_1(NR_4)nCMC(:NOR_3)R_2$  (  $R_1, R_2$  = alkyl, aryl, heteroaryl,  $R_1R_2$  = cyclic alkyl;  $R_3, R_4$  = H, alkyl, aryl, heteroaryl;  $M$  = :O, :S, etc.;  $n$  = 0, 1). A moisturizing lotion containing 2.00 % di-2-furyl ethanedione-syn-monooxime was prepared

FILE 'HOME' ENTERED AT 12:16:29 ON 15 MAR 2006

10/663335

FILE 'CAPLUS' ENTERED AT 13:09:26 ON 15 MAR 2006  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE 'CONFSCI' ENTERED AT 13:09:26 ON 15 MAR 2006  
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FILE 'SCISEARCH' ENTERED AT 13:09:26 ON 15 MAR 2006  
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FILE 'JICST-EPLUS' ENTERED AT 13:09:26 ON 15 MAR 2006  
COPYRIGHT (C) 2006 Japan Science and Technology Agency (JST)

FILE 'JAPIO' ENTERED AT 13:09:26 ON 15 MAR 2006  
COPYRIGHT (C) 2006 Japanese Patent Office (JPO)- JAPIO

L12 95 S "MADERA A"?/AU  
L13 89 S "WEIKERT R"?/AU  
L14 17 S L12 AND L13

L18 15 S (L12 OR L13) AND ?INDOLE  
L19 28 S L14 OR L18  
L20 19 DUP REM L19 (9 DUPLICATES REMOVED)

L20 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2005:1313863 CAPLUS  
DOCUMENT NUMBER: 144:51448  
TITLE: Preparation of 3-amino-1-arylpropylindoles as  
monoamine reuptake inhibitors for depression  
INVENTOR(S): Greenhouse, Robert; Jaime-Figueroa, Saul; Raptova,  
Lubica; Reuter, Deborah Carol; Stein, Karin Ann;  
**Weikert, Robert James**  
PATENT ASSIGNEE(S): F.Hoffmann-La Roche AG, Switz.  
SOURCE: PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118539	A1	20051215	WO 2005-EP5734	20050527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,				

Searcher : Shears 571-272-2528

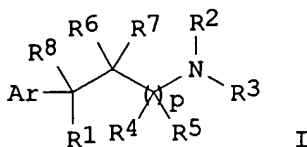
- Author (s)



10/663335

	MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,	
	SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,	
	UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,	
	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,	
	DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,	
	NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,	
	GN, GQ, GW, ML, MR, NE, SN, TD, TG	
US 2006025467	A1	20060202
		US 2005-142076
		20050601
PRIORITY APPLN. INFO.:		US 2004-576044P
		P 20040601

OTHER SOURCE(S) : MARPAT 144:51448  
GI



AB Title compds. I [p = 1-2; Ar = (un)substituted (un)saturated indolyl, benzimidazolyl, etc.; R1 = Ph, naphthyl, etc.; R2-3 = H, alkyl, hydroxyalkyl, etc.; R6 = H, alkyl, etc.; R7 = H, alkyl, OH, alkoxy, hydroxyalkyl, etc.; R4-5 = H, alkyl, etc.] are prepared For instance, [3-(1H-indol-3-yl)-3-phenylpropyl]methylamine (II) is prepared in 3 steps from **indole**, Meldrum's acid and benzaldehyde. II has a pKi = 8.45 for the human serotonin reuptake transporter. I are useful for the treatment of depression and anxiety.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L20 ANSWER 2 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2006:133100 BIOSIS  
DOCUMENT NUMBER: PREV200600142764  
TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic  
receptor antagonists.

AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor];  
Madera, Ann Marie [Inventor]; Weikert,  
Robert James [Inventor]

CORPORATE SOURCE: Sunnyvale, CA USA  
ASSIGNEE: Syntex (U.S.A.) LLC

PATENT INFORMATION: US 06864266 20050308  
SOURCE: Official Gazette of the United States Patent and  
Trademark Office Patents, (MAR 8 2005)  
CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 22 Feb 2006  
Last Updated on STN: 22 Feb 2006

AB This invention relates to the (R)-isomers of compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: wherein p, R-1, R-2, R(3) and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention

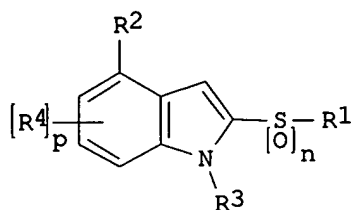
Searcher : Shears 571-272-2528

further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2  
 ACCESSION NUMBER: 2004:267300 CAPLUS  
 DOCUMENT NUMBER: 140:303525  
 TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators  
 INVENTOR(S): Madera, Ann Marie; Weikert, Robert James  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498946	AA	20040401	CA 2003-2498946	20030908
AU 2003267063	A1	20040408	AU 2003-267063	20030908
EP 1542973	A1	20050622	EP 2003-747986	20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014363	A	20050719	BR 2003-14363	20030908
JP 2006502177	T2	20060119	JP 2004-537019	20030908
US 2004072844	A1	20040415	US 2003-663335	20030916
NO 2005000664	A	20050415	NO 2005-664	20050208
PRIORITY APPLN. INFO.:			US 2002-411480P	P 20020917
			WO 2003-EP9969	W 20030908

OTHER SOURCE(S): MARPAT 140:303525  
 GI



I

AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267299 CAPLUS

DOCUMENT NUMBER: 140:303524

TITLE: Preparation of 2,7-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

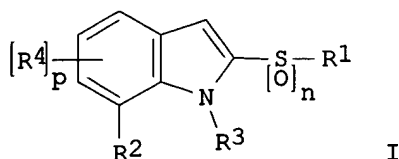
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026830	A1	20040401	WO 2003-EP10101	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2496765	AA	20040401	CA 2003-2496765	20030911
AU 2003273855	A1	20040408	AU 2003-273855	20030911
BR 2003014352	A	20050719	BR 2003-14352	20030911
EP 1587788	A1	20051026	EP 2003-757820	20030911

10/663335

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,  
PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
JP 2006503052 T2 20060126 JP 2004-537044 20030911  
US 2004063724 A1 20040401 US 2003-663314 20030916  
NO 2005000666 A 20050311 NO 2005-666 20050208  
PRIORITY APPLN. INFO.: US 2002-411239P P 20020917  
WO 2003-EP10101 W 20030911

OTHER SOURCE(S): MARPAT 140:303524  
GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 5-step synthesis of I [n = 2; R1 = Ph; R2 = piperazino; R3 = H; R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:1810 BIOSIS  
DOCUMENT NUMBER: PREV200500011583  
TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.  
AUTHOR(S): Weikert, Robert James [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Stabler, Russell Stephen [Inventor]  
CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC  
PATENT INFORMATION: US 6818645 20041116  
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 16 2004) Vol. 1288, No. 3. <http://www.uspto.gov/web/menu/patdata.html>. e-file. ISSN: 0098-1133 (ISSN print).  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 16 Dec 2004  
Last Updated on STN: 16 Dec 2004

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and

Searcher : Shears 571-272-2528

pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 6 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:443668 BIOSIS  
DOCUMENT NUMBER: PREV200400448851  
TITLE: Amino-tetralin derivatives as muscarinic receptor antagonists.  
AUTHOR(S): **Madera, Ann Marie** [Inventor, Reprint Author];  
**Weikert, Robert James** [Inventor]  
CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC  
PATENT INFORMATION: US 6806278 20041019  
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Oct 19 2004) Vol. 1287, No. 3. <http://www.uspto.gov/web/menu/patdata.html>. e-file. ISSN: 0098-1133 (ISSN print).  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 17 Nov 2004  
Last Updated on STN: 17 Nov 2004

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein R1, R2, R3 and R4 are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 7 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
ACCESSION NUMBER: 2004-294422 [27] WPIDS  
DOC. NO. CPI: C2004-112621  
TITLE: New 2,7-substituted **indole** derivatives useful for treating e.g. psychoses, Alzheimer's disease, Huntington's disease, anxiety, depression, sleep disorders, anorexia and bulimia.  
DERWENT CLASS: B02  
INVENTOR(S): **MADERA, A M; WEIKERT, R J**  
PATENT ASSIGNEE(S): (HOFF) HOFFMANN LA ROCHE & CO AG F; (HOFF) ROCHE PALO ALTO LLC  
COUNTRY COUNT: 108  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 2004063724	A1	20040401	(200427)*		16
WO 2004026830	A1	20040401	(200431)	EN	
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE					
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE					
DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE					
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO					
NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ					
UA UG UZ VC VN YU ZA ZM ZW					
AU 2003273855	A1	20040408	(200462)		
NO 2005000666	A	20050311	(200540)		
BR 2003014352	A	20050519	(200549)		

EP 1587788 A1 20051026 (200570) EN  
 R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LT LU  
 LV MC MK NL PT RO SE SI SK TR  
 MX 2005002696 A1 20050501 (200572)  
 TW 2004010685 A 20040701 (200580)  
 JP 2006503052 W 20060126 (200609) 36  
 CN 1681782 A 20051012 (200612)

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2004063724	A1 Provisional	US 2002-411239P	20020917
		US 2003-663314	20030916
WO 2004026830	A1	WO 2003-EP10101	20030911
AU 2003273855	A1	AU 2003-273855	20030911
NO 2005000666	A	WO 2003-EP10101	20030911
		NO 2005-666	20050208
BR 2003014352	A	BR 2003-14352	20030911
		WO 2003-EP10101	20030911
EP 1587788	A1	EP 2003-757820	20030911
		WO 2003-EP10101	20030911
MX 2005002696	A1	WO 2003-EP10101	20030911
		MX 2005-2696	20050310
TW 2004010685	A	TW 2003-125197	20030912
JP 2006503052	W	WO 2003-EP10101	20030911
		JP 2004-537044	20030911
CN 1681782	A	CN 2003-821590	20030911

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003273855	A1 Based on	WO 2004026830
BR 2003014352	A Based on	WO 2004026830
EP 1587788	A1 Based on	WO 2004026830
MX 2005002696	A1 Based on	WO 2004026830
JP 2006503052	W Based on	WO 2004026830

PRIORITY APPLN. INFO: US 2002-411239P 20020917; US  
 2003-663314 20030916

AN 2004-294422 [27] WPIDS

AB US2004063724 A UPAB: 20040928

NOVELTY - 2,7-Substituted **indole** derivatives (I) are new.

DETAILED DESCRIPTION - 2,7-Substituted **indole**  
 derivatives of formula (I) and their salts are new.

n = 0, 1 or 2;

p = 1 or 2;

R1 = (hetero)aryl;

R2 = heterocyclyl;

R3 = H, alkyl, or -C(=O)-R5;

R5 = alkyl, alkoxy, aryl or aryloxy;

R4 = H, hydroxy, cyano, alkoxy, thioalkyl, alkylthio, halo,  
 haloalkyl, (hydroxy)alkyl, nitro, alkoxy carbonyl, alkyl carbonyl,  
 arylsulfonyl, (halo)alkylsulfonyl, amino, (di)alkylamino,  
 alkyl(aryl)amino, alkylaminocarbonyl, alkylcarbonylamino,  
 alkylcarbonyl(alkylamino), alkylaminosulfonyl, alkylsulfonylamino or  
 methylenedioxy.

An INDEPENDENT CLAIM is included for preparation of (I).

ACTIVITY - CNS-Gen.; Neuroleptic; Antimanic; Antidepressant; Neuroprotective; Nootropic; Tranquilizer; Antiparkinsonian; Anticonvulsant; Gastrointestinal-Gen.; Anorectic; Antimigraine; Hypnotic; Anabolic; Eating-Disorders-Gen.; Antiaddictive; Antismoking; Vulnerary; Cerebroprotective.

MECHANISM OF ACTION - 5-Hydroxytryptamine-6 receptor (5-HT6) antagonist.

The binding affinity of 2-benzenesulfonyl-7-(4-methylpiperazin-1-yl)-1H-indole (A) to human 5-HT6 receptor was determined by an in vitro radioligand binding assay. Cell membranes derived from HEK293 cells stably expressing recombinant human 5-HT6 receptors were incubated with (3H)LSD (5 nM) and (A) in an assay buffer (50 mM Tris-HCl, 10 mM MgSO<sub>4</sub>, 0.5 mM EDTA, 1 mM ascorbic acid, pH 7.4) at 37 deg. C for 60 minutes. The cells were harvested and washed; and bound (3H)LSD was measured by Packard Topcount. (A) Showed pK<sub>i</sub> value of 9.1.

USE - For treating CNS diseases e.g. psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease, gastrointestinal tract disorder, obesity (claimed).

Also for the treatment of anxiety, depression, epilepsy, obsessive compulsive disorders, migraine, sleep disorders, feeding disorders (e.g. anorexia and bulimia), panic attacks, withdrawal from drug abuse (e.g. cocaine, ethanol, nicotine and benzodiazodiazepines), and also disorders associated with spinal trauma and/or head injury such as hydrocephalus.

ADVANTAGE - The compounds are potent and selective 5-HT6 receptor antagonists.

Dwg.0/0

L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

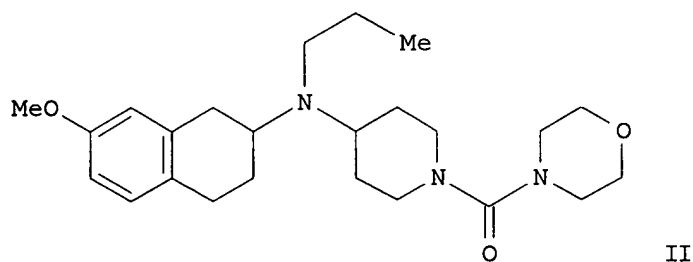
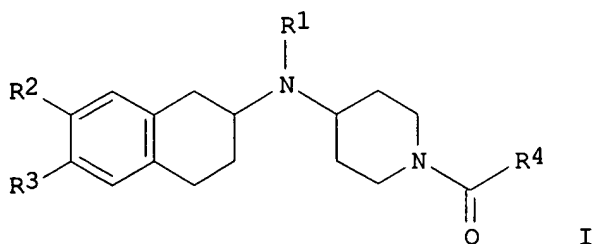
ACCESSION NUMBER: 2003:454291 CAPLUS  
DOCUMENT NUMBER: 139:22114  
TITLE: Preparation of aminotetralin derivatives as muscarinic receptor antagonists  
INVENTOR(S): Madera, Ann Marie; Weikert, Robert James  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.  
SOURCE: PCT Int. Appl., 57 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048125	A1	20030612	WO 2002-EP13219	20021125
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2469055	AA	20030612	CA 2002-2469055	20021125

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AU 2002352124	A1	20030617	AU 2002-352124	20021125
EP 1453806	A1	20040908	EP 2002-787797	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014649	A	20041103	BR 2002-14649	20021125
JP 2005518368	T2	20050623	JP 2003-549317	20021125
US 2003171362	A1	20030911	US 2002-308092	20021202
US 6635658	B2	20031021		
US 2004092604	A1	20040513	US 2003-608604	20030627
US 6806278	B2	20041019		
PRIORITY APPLN. INFO.:			US 2001-336675P	P 20011203
			WO 2002-EP13219	W 20021125
			US 2002-308092	A1 20021202

OTHER SOURCE(S): MARPAT 139:22114  
GI



AB Title compds. I [] are prepared For instance, 7-methoxy-3,4-dihydro-1H-naphthalen-2-one is alkylated with [1-benzylpiperidin-4-yl]amine (ClCH<sub>2</sub>CH<sub>2</sub>Cl, NaHB(OAc)<sub>3</sub>), the resulting product is alkylated with propionaldehyde (ClCH<sub>2</sub>CH<sub>2</sub>Cl, NaHB(OAc)<sub>3</sub>), debenzylated (EtOH, H<sub>2</sub>-Pd(OH)<sub>2</sub>) and acylated with morpholine-4-carbonyl chloride (CH<sub>2</sub>Cl<sub>2</sub>, DIEA) to give II. II has pK<sub>i</sub> = 8.57 and 8.83 for the muscarinic M<sub>2</sub> and M<sub>3</sub> receptor resp. I are useful for the treatment of smooth muscle disorders and genitourinary diseases.

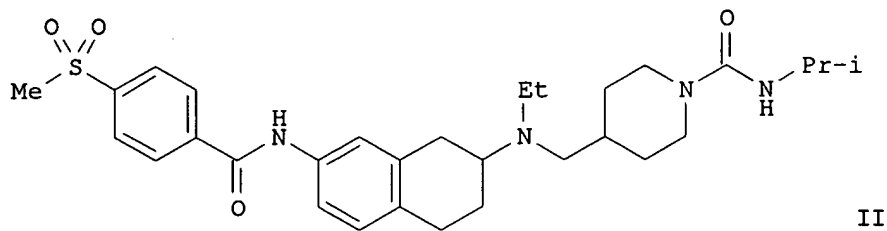
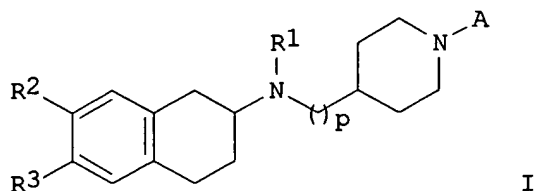
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L20 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4  
 ACCESSION NUMBER: 2003:454290 CAPLUS  
 DOCUMENT NUMBER: 139:36440  
 TITLE: Preparation of 4-piperidiny1 alkylamine  
 derivatives as muscarinic receptor antagonists  
 INVENTOR(S): Brotherton-Pleiss, Christine E.; Madera, Ann  
 Marie; Weikert, Robert James  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048124	A1	20030612	WO 2002-EP13220	20021125
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2468691	AA	20030612	CA 2002-2468691	20021125
AU 2002352125	A1	20030617	AU 2002-352125	20021125
EP 1453805	A1	20040908	EP 2002-787798	20021125
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002014674	A	20041019	BR 2002-14674	20021125
JP 2005517641	T2	20050616	JP 2003-549316	20021125
US 2003162780	A1	20030828	US 2002-308081	20021202
US 6627644	B2	20030930		
US 2004092554	A1	20040513	US 2003-611193	20030701
US 6864266	B2	20050308		
PRIORITY APPLN. INFO.:			US 2001-336795P	P 20011203
			WO 2002-EP13220	W 20021125
			US 2002-308081	A1 20021202

OTHER SOURCE(S): MARPAT 139:36440  
 GI



AB Title compds. I [A = acyl, sulfonyl; R1 = alkyl, allyl; R2-3 = H, halo, (hetero)aryl, etc.; p = 1-2] are prepared For instance, 7-nitro-3,4-dihydro-1H-naphthalen-2-one is used to alkylate 4-(aminomethyl)piperidine-1-carboxylic acid tert-Bu ester (1,2-dichloroethane, NaHB(OAc)3), the product alkylated with acetaldehyde (1,2-dichloroethane, NaHB(OAc)3), reduced (EtOH, H2-Pd/C) to the corresponding aniline, acylated with 4-(methanesulfonyl)benzoyl chloride (EtOAc, K2CO3), deprotected (CH2Cl2, TFA) and treated with isopropylisocyanate (CH2Cl2) to give II. Muscarinic M2/M3 inhibitory activities are determined for selected compds. I are useful for the treatment of genitourinary disorders.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:7519 BIOSIS

DOCUMENT NUMBER: PREV200400008443

TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.

AUTHOR(S): Weikert, Robert James [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Stabler, Russell Stephen [Inventor]

CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC

PATENT INFORMATION: US 6645958 20031111

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 11 2003) Vol. 1276, No. 2. <http://www.uspto.gov/web/menu/patdata.html>. e-file. ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 17 Dec 2003

Last Updated on STN: 17 Dec 2003

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I:

##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 11 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation  
on STN

ACCESSION NUMBER: 2003:496282 BIOSIS  
DOCUMENT NUMBER: PREV200300496489  
TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic  
receptor antagonists.  
AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor, Reprint  
Author]; **Madera, Ann Marie** [Inventor];  
**Weikert, Robert James** [Inventor]  
CORPORATE SOURCE: Sunnyvale, CA, USA  
ASSIGNEE: Syntex (U.S.A.) LLC  
PATENT INFORMATION: US 6627644 20030930  
SOURCE: Official Gazette of the United States Patent and  
Trademark Office Patents, (Sep 30 2003) Vol. 1274, No.  
5. <http://www.uspto.gov/web/menu/patdata.html>. e-file.  
ISSN: 0098-1133 (ISSN print).  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 22 Oct 2003  
Last Updated on STN: 22 Oct 2003

AB This invention relates to compounds which are generally muscarinic  
receptor antagonists and which are represented by Formula I: ##STR1##  
wherein p, R1, R2, R3 and A are as defined in the specification, or  
individual isomers, racemic or non-racemic mixtures of isomers, or  
acceptable salts or solvates thereof. The invention further relates  
to pharmaceutical compositions containing such compounds and methods  
for their use and preparation as therapeutic drugs.

L20 ANSWER 12 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation  
on STN

ACCESSION NUMBER: 2003:86026 BIOSIS  
DOCUMENT NUMBER: PREV200300086026  
TITLE: Benzocycloalkylenylamine derivatives as muscarinic  
receptor antagonists.  
AUTHOR(S): **Weikert, Robert James** [Inventor, Reprint  
Author]; **Madera, Ann Marie** [Inventor];  
Stabler, Russell Stephen [Inventor]  
CORPORATE SOURCE: Dublin, CA, USA  
ASSIGNEE: Syntex (U.S.A.) LLC  
PATENT INFORMATION: US 6500822 20021231  
SOURCE: Official Gazette of the United States Patent and  
Trademark Office Patents, (Dec 31 2002) Vol. 1265, No.  
5. <http://www.uspto.gov/web/menu/patdata.html>. e-file.  
ISSN: 0098-1133 (ISSN print).  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 6 Feb 2003  
Last Updated on STN: 6 Feb 2003

AB This invention relates to compounds which are generally muscarinic  
M2/M3 receptor antagonists and which are represented by Formula I:  
##STR1## wherein X, Y, and Z are O, S, or NR4, and the other  
substituents are as defined in the specification; and prodrugs,

individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2001:868428 CAPLUS

DOCUMENT NUMBER: 136:6017

TITLE: Substituted 1-aminoalkyl-lactams and their use as muscarinic receptor antagonists

INVENTOR(S): Madera, Ann Marie; Stabler, Russell  
Stephen; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

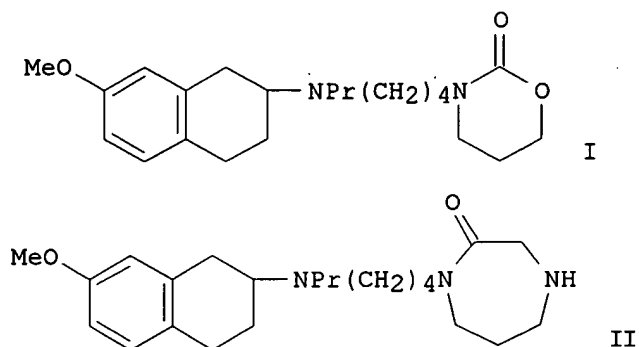
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090082	A1	20011129	WO 2001-EP5631	20010517
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408934	AA	20011129	CA 2001-2408934	20010517
EP 1289964	A1	20030312	EP 2001-933980	20010517
EP 1289964	B1	20041020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011019	A	20030617	BR 2001-11019	20010517
JP 2003534331	T2	20031118	JP 2001-586271	20010517
NZ 522410	A	20040924	NZ 2001-522410	20010517
AT 280162	E	20041115	AT 2001-933980	20010517
RU 2243222	C2	20041227	RU 2002-133220	20010517
ES 2230310	T3	20050501	ES 2001-1933980	20010517
US 2002004494	A1	20020110	US 2001-862522	20010522
US 6500822	B2	20021231		
ZA 2002008895	A	20040219	ZA 2002-8895	20021101
US 2003109524	A1	20030612	US 2002-289055	20021106
US 6645958	B2	20031111		
ZA 2002009029	A	20040206	ZA 2002-9029	20021106
NO 2002005641	A	20021217	NO 2002-5641	20021122
US 2004034018	A1	20040219	US 2003-632734	20030801
US 6818645	B2	20041116		
US 2004087581	A1	20040506	US 2003-685124	20031014
PRIORITY APPLN. INFO.:			US 2000-207483P	P 20000525
			US 2001-267617P	P 20010209
			US 2001-267579P	P 20010209

10/663335

WO 2001-EP5631	W 20010517
US 2001-862286	A3 20010522
US 2001-862522	A3 20010522
US 2002-289055	A3 20021106

OTHER SOURCE(S): MARPAT 136:6017  
GI



AB Title compds. such as I and II were prepared Thus, I was prepared in two steps from 3,4-dihydro-7-methoxy-2(1H)-naphthalenone and PrNH<sub>2</sub>. Muscarinic inhibitory activities (expressed as pK<sub>i</sub> values) of I were 8.20 (m<sub>2</sub>), 7.56 (m<sub>3</sub>), 6.30 (m<sub>5</sub>).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN  
ACCESSION NUMBER: 2002-106163 [14] WPIDS  
CROSS REFERENCE: 2002-114276 [15]  
DOC. NO. CPI: C2002-032560  
TITLE: New substituted 1-aminoalkyl-lactams or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates useful in treatment of smooth muscle disorders.  
DERWENT CLASS: B02 B03  
INVENTOR(S): DVORAK, C A; FISHER, L E; GREEN, K L; HARRIS, R N; MAAG, H; PRINCE, A; REPKE, D B; STABLER, R S; MADERA, M; STABLER, S; WEIKERT, J; HARRIS, R N I;  
PATENT ASSIGNEE(S): MADERA, A M; WEIKERT, R J  
(HOFF) HOFFMANN LA ROCHE & CO AG F; (DVOR-I) DVORAK C A; (FISH-I) FISHER L E; (GREE-I) GREEN K L; (HARR-I) HARRIS R N; (MAAG-I) MAAG H; (PRIN-I) PRINCE A; (REPKE-I) REPKE D B; (STAB-I) STABLER R S; (SYNT) SYNTEX USA LLC  
COUNTRY COUNT: 92  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
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Searcher : Shears 571-272-2528

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 WO 2001090081 A1 20011129 (200214)\* EN 100  
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW  
 MZ NL OA PT SD SE SL SZ TR TZ UG ZW  
 W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CO CU CZ DE DK EC EE  
 ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK  
 LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG  
 SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW  
 US 2002004501 A1 20020110 (200214)  
 AU 2002010122 A 20011203 (200221)  
 EP 1289965 A1 20030312 (200320) EN  
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL  
 PT RO SE SI TR  
 NO 2002005640 A 20030122 (200320)  
 KR 2003003763 A 20030110 (200333)  
 BR 2001011061 A 20030415 (200334)  
 CN 1430610 A 20030716 (200363)  
 HU 2003002010 A2 20030929 (200369)  
 JP 2003534330 W 20031118 (200401) 149  
 JP 2003534331 W 20031118 (200401) 94  
 US 6667301 B2 20031223 (200408)  
 MX 2002011418 A1 20030401 (200415)  
 CZ 2002004200 A3 20040114 (200429)  
 US 2004087581 A1 20040506 (200430)  
 ZA 2002009029 A 20040428 (200432) # 109  
 NZ 522411 A 20040528 (200437)  
 RU 2241702 C2 20041210 (200508)  
 IN 2002001889 P4 20050211 (200539) EN  
 AU 782191 B2 20050707 (200551)  
 EP 1289965 B1 20051026 (200571) EN  
 R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC NL PT RO  
 SE SI TR  
 DE 60114413 E 20051201 (200580)  
 DE 60106607 T2 20060209 (200611)

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001090081	A1	WO 2001-EP5584	20010516
US 2002004501	A1 Provisional	US 2000-207483P	20000525
	Provisional	US 2001-267579P	20010209
		US 2001-862286	20010522
AU 2002010122	A	AU 2002-10122	20010516
EP 1289965	A1	EP 2001-980030	20010516
		WO 2001-EP5584	20010516
NO 2002005640	A	WO 2001-EP5584	20010516
		NO 2002-5640	20021122
KR 2003003763	A	KR 2002-715885	20021123
BR 2001011061	A	BR 2001-11061	20010516
		WO 2001-EP5584	20010516
CN 1430610	A	CN 2001-810043	20010516
HU 2003002010	A2	WO 2001-EP5584	20010516
		HU 2003-2010	20010516
JP 2003534330	W	JP 2001-586270	20010516
		WO 2001-EP5584	20010516
JP 2003534331	W	JP 2001-586271	20010517
		WO 2001-EP5631	20010517
US 6667301	B2 Provisional	US 2000-207483P	20000525

10/663335

		Provisional	US 2001-267579P	20010209
			US 2001-862286	20010522
MX 2002011418	A1		WO 2001-EP5584	20010516
			MX 2002-11418	20021119
CZ 2002004200	A3		WO 2001-EP5584	20010516
			CZ 2002-4200	20010516
US 2004087581	A1	Provisional	US 2000-207483P	20000525
		Provisional	US 2001-267579P	20010209
		Div ex	US 2001-862286	20010522
			US 2003-685124	20031014
ZA 2002009029	A		ZA 2002-9029	20021106
NZ 522411	A		NZ 2001-522411	20010516
			WO 2001-EP5584	20010516
RU 2241702	C2		WO 2001-EP5584	20010516
			RU 2002-133208	20010516
IN 2002001889	P4		WO 2001-EP5584	20010516
			IN 2002-CN1889	20021120
AU 782191	B2		AU 2002-10122	20010516
EP 1289965	B1		EP 2001-980030	20010516
			WO 2001-EP5584	20010516
DE 60114413	E		DE 2001-00114413	20010516
			EP 2001-980030	20010516
			WO 2001-EP5584	20010516
DE 60106607	T2		DE 2001-00106607	20010517
			EP 2001-933980	20010517
			WO 2001-EP5631	20010517

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002010122	A Based on	WO 2001090081
EP 1289965	A1 Based on	WO 2001090081
BR 2001011061	A Based on	WO 2001090081
HU 2003002010	A2 Based on	WO 2001090081
JP 2003534330	W Based on	WO 2001090081
JP 2003534331	W Based on	WO 2001090082
MX 2002011418	A1 Based on	WO 2001090081
CZ 2002004200	A3 Based on	WO 2001090081
US 2004087581	A1 Div ex	US 6667301
NZ 522411	A Based on	WO 2001090081
RU 2241702	C2 Based on	WO 2001090081
AU 782191	B2 Previous Publ.	AU 2002010122
	Based on	WO 2001090081
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DE 60114413	E Based on	EP 1289965
	Based on	WO 2001090081
DE 60106607	T2 Based on	EP 1289964
	Based on	WO 2001090082

PRIORITY APPLN. INFO: US 2001-267579P 20010209; US  
2000-207483P 20000525; US  
2001-862286 20010522; US  
2001-267617P 20010209; US  
2003-685124 20031014; ZA  
2002-9029 20021106

AN 2002-106163 [14] WPIDS  
CR 2002-114276 [15]  
AB WO 200190081 A UPAB: 20060214

Searcher : Shears 571-272-2528

NOVELTY - Substituted 1-aminoalkyl-lactams (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

DETAILED DESCRIPTION - Substituted 1-aminoalkyl-lactams of formula (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

R1, R2 and R3 = H, halogen, 1-6C alkyl, -OR', -SR', -NR'R'', -SOR', -SO2R', -COOR', -OCOR', -OCONR'R'', -OSO2R', -OSO2NR'R'', -NR'SO2R'', -NR'COR'', -SO2NR'R'', -SO2(CH2)0-3CONR'R'', -CONR'R'', cyano, halogenalkyl or nitro;

CR1R2 = 5- to 7-membered aromatic, optionally saturated ring, optionally incorporating one or two ring heteroatoms selected from N, S(O)0-2 or O, and optionally substituted with 1-6C alkyl, halogen, cyano or lower alkoxy;

R' and R'' = H, optionally substituted 1-6C alkyl, 0-3C-alkyl-alkoxy, (hetero)aryl, heterocyclyl, aryl-(1-3C)-alkyl, heteroaryl-(1-3C)-alkyl, heterocyclyl-(1-3C)-alkyl or (cycloalkyl)alkyl;

NR'R'' = 5- to 7-membered ring, optionally incorporating one additional ring heteroatom selected from N, O or S(O)0-2;

R4 = 1-6C alkyl;

R5 = 1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl or cycloalkyl;

X, Y and Z = -S-, -O-, -CH2-, -N-R6, -CH2-;

R6 = H, 1-6C alkyl, halogenalkyl, aryl-(1-6C)-alkyl, heteroaryl-(1-6C)-alkyl, -(1-6C)-CR'R'R'', -COOR', -SO2R', -C(O)R', -SO2-(CH2)0-3-NR'R'', -CONR'R'', -C(O)OCH2OC(O)R', -C(O)O-CH2-S-C(O)-R' or -PO(OR')2;

m = 0 - 3; and

n = 1 - 6.

provided that one of X, Y and Z = -S-, -O-, -CH2- or -N-R6, the others are -CH2-.

An INDEPENDENT CLAIM is also included for the preparation of (I).

ACTIVITY - Antiinflammatory; Antidiarrheic; Analgesic; Antiasthmatic; Uropathic.

MECHANISM OF ACTION - Muscarinic M2/M3 receptor antagonist.

1-(4-((2-(3,3-dimethyl-2,3-dihydrobenzofuran-6-yl)-1-methylethyl)propyl-amino)butyl)-piperidine-2-one, hydrochloride salt (A) was tested for in vitro inhibitory activity using a method described in Hegde, S.S et al., Br. J. Pharmacol. 1997, 120 (1409-1418).. Cell membranes from Chinese hamster ovary cells expressing the recombinant human muscarinic receptors (ml - m5) were employed. The assays were conducted with the radioligand (3H)N-methyl scopolamine (0.4 nM, specific activity 84 Ci.mmol-1) in a final volume of 0.25 ml Tris-Kreb buffer. Non-specific binding was defined with 1 micro M atropine. Assays were performed using scintillation proximity assay technology. The ratio of m2 and m3 for (A) showed pKi value of 1.2.

USE - In the manufacture of a medicament that is useful in the treatment or prevention of a disease state, which is alleviated with M2/M3 muscarinic antagonist and associated with smooth muscle disorders such as genitourinary or gastrointestinal tract and respiratory states (claimed). Genitourinary tract disorders includes overactive bladder or the symptoms usually manifested in detrusor hyperactivity and its symptoms such as changes symptomatically manifested as urgency, frequency, reduced bladder capacity, incontinence episodes; the changes urodynamically manifested as changes in bladder capacity, micurition threshold, unstable bladder contractions, sphinteric spasticity, detrusor hyperreflexia (neurogenic bladder), in conditions such as outlet obstruction, outlet



insufficiency, pelvic hypersensitivity or in idiopathic conditions such as detrusor instability. Gastrointestinal tract disorders includes irritable bowel syndrome, diverticular disease, achalasia, gastrointestinal hypermotility disorders and diarrhea. Respiratory tract disorders includes chronic obstructive pulmonary disease, asthma, pain and pulmonary fibrosis.

ADVANTAGE - (I) shows reduced side effects and is M2/M3 selective muscarinic receptor antagonist.

Dwg.0/0

L20 ANSWER 15 OF 19 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 92155524 EMBASE

DOCUMENT NUMBER: 1992155524

TITLE: Novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles as potential antiallergy agents.

AUTHOR: Connor D.T.; Cetenko W.A.; Mullican M.D.; Sorenson R.J.; Unangst P.C.; **Weikert R.J.**; Adolphson R.L.; Kennedy J.A.; Thueson D.O.; Wright C.D.; Conroy M.C.

CORPORATE SOURCE: Department of Chemistry, Parke-Davis Pharmaceutical Res. Div., Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI 48105, United States

SOURCE: Journal of Medicinal Chemistry, (1992) Vol. 35, No. 5, pp. 958-965.

ISSN: 0022-2623 CODEN: JMCMAR

COUNTRY: United States

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 026 Immunology, Serology and Transplantation

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 920621

Last Updated on STN: 920621

AB The synthesis and antiallergic activity of a series of novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles are described. A number of the compounds inhibit the release of histamine from anti-IgE stimulated basophils obtained from allergic donors. Optimal inhibition is exhibited in benzothiophenes with a 3-alkoxy substituent in combination with a 5-methoxy, 6-methoxy, or a 5,6-dimethoxy group. Compound 13c (CI-959) also inhibited respiratory burst of human neutrophils and the release of mediators from anti-IgE-stimulated human chopped lung.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 1989:407305 CAPLUS

DOCUMENT NUMBER: 111:7305

TITLE: Novel indolecarboxamidotetrazoles as potential antiallergy agents

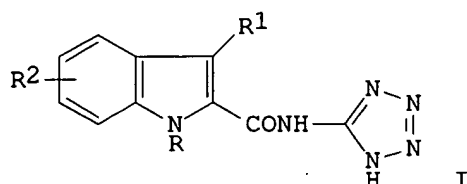
AUTHOR(S): Unangst, Paul C.; Connor, David T.; Stabler, S. Russell; **Weikert, Robert J.**; Carethers, Mary E.; Kennedy, John A.; Thueson, David O.; Chestnut, James C.; Adolphson, Richard L.; Conroy, M. C.

CORPORATE SOURCE: Dep. Chem., Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA

SOURCE: Journal of Medicinal Chemistry (1989), 32(6),

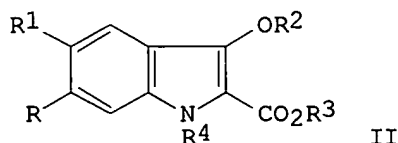
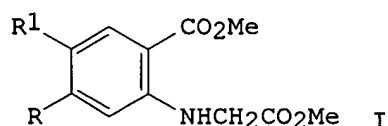
DOCUMENT TYPE:  
LANGUAGE:  
OTHER SOURCE(S):  
GI

1360-6  
CODEN: JMCMAR; ISSN: 0022-2623  
Journal  
English  
CASREACT 111:7305



AB The synthesis and antiallergic potential of a series of novel indolecarboxamidotetrazoles I [R = Ph, H, 4-MeOC6H4, Me, CH2Ph; R1 = OH, OMe, OEt, OCHMe2, O(CH2)8Me, H, CHMe2, SMe, SO2Me, SCHMe2, SPh, OC6H4NO2-4; R2 = 4-, 5-, 6-OMe, 5-OH, 5-OCH2Ph, 5-Me, 5-Br, 5-Cl] is described. A number of compds. inhibit the release of histamine from anti-IgE-stimulated basophilic leukocyte obtained from allergic donors. Optimal inhibition is exhibited by compds. with 3-alkoxy, 5-methoxy, and 1-Ph substituents on the indole core structure. I (R = Ph, R1 = OCHMe2, R2 = 5-OMe), designated CI-949, is a potent inhibitor of histamine release from human basophils and from guinea pig and human chopped lung.

L20 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7  
ACCESSION NUMBER: 1988:131497 CAPLUS  
DOCUMENT NUMBER: 108:131497  
TITLE: Synthesis of novel 1-phenyl-1H-indole  
-2-carboxylic acids. I. Utilization of Ullmann and  
Dieckmann reactions for the preparation of  
3-hydroxy, 3-alkoxy, and 3-alkyl derivatives  
Unangst, Paul C.; Connor, David T.; Stabler, S.  
Russell; Weikert, Robert J.  
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm.  
Res., Ann Arbor, MI, 48105, USA  
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(3),  
811-15  
CODEN: JHTCAD; ISSN: 0022-152X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 108:131497  
GI



AB Methods for the synthesis of novel 3-hydroxy, 3-alkoxy, and 3-alkyl **indole**-2-carboxylic acids and esters are described. Dieckmann cyclization of various 2-[(carboxymethyl)amino]benzoic acid diesters yielded 1-unsubstituted-, 1-methyl-, and 1-phenyl-3-hydroxy-1H-**indole**-2-carboxylic acid esters. An Ullmann reaction with bromobenzene converted 1H-indoles to 1-phenylindoles. Thus, Dieckmann cyclization of benzoic acid diesters I (R = H, R1 = OMe, Br; R = R1 = Cl) gave **indole** esters II (R2 = H, R3 = Me, R4 = H), which on alkylation with Me2CHBr gave II (R2 = CHMe2). Ullmann reaction in PhBr as solvent and reagent converted II (R2 = CHMe2, R3 = Me, R4 = H) to II (R2 = CHMe2, R3 = Me, R4 = Ph) which upon saponification gave II (R2 = CHMe2, R3 = H, R4 = Ph).

L20 ANSWER 18 OF 19 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1987:71806 SCISEARCH  
 THE GENUINE ARTICLE: F8679  
 TITLE: NOVEL **INDOLE** CARBAMOYL TETRAZOLES AS POTENTIAL ANTIALLERGY AGENTS  
 AUTHOR: UNANGST P C (Reprint); CONNOR D T; STABLER S R; WEIKERT R J; CARETHERS M E  
 CORPORATE SOURCE: WARNER LAMBERT PARKE DAVIS, PHARMACEUT RES, ANN ARBOR, MI 48105  
 COUNTRY OF AUTHOR: USA  
 SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (7 SEP 1986) Vol. 192, pp. 62-MEDI. ISSN: 0065-7727.  
 PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036.  
 DOCUMENT TYPE: Conference; Journal  
 LANGUAGE: English  
 REFERENCE COUNT: 0  
 ENTRY DATE: Entered STN: 1994  
 Last Updated on STN: 1994

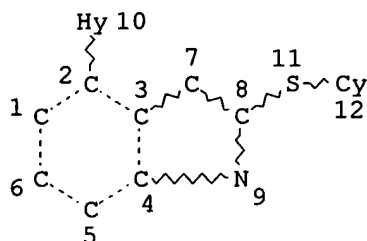
L20 ANSWER 19 OF 19 CONFSCI COPYRIGHT 2006 CSA on STN

ACCESSION NUMBER: 86:46332 CONFSCI  
 DOCUMENT NUMBER: 87001271  
 TITLE: Novel **indole** carbamoyltetrazoles as potential antiallergy agents  
 AUTHOR: Unangst, P.C.; Connor, D.T.; Stabler, S.R.; Weikert, R.J.; Carethers, M.E.  
 SOURCE: ACS Distribution Office, 210, 1155 16th Street, N.W., Washington, DC 20036 (USA). Telephone: (202) 872-4405, Contact specific authors of specific papers for copies of entire papers. ACS will publish a book of abstracts. Price: \$34.00.  
 Meeting Info.: 863 0396: American Chemical Society 192nd Meeting (8630396). Anaheim, CA (USA). 7-12 Sep 1986. American Chemical Society (ACS).  
 DOCUMENT TYPE: Conference  
 FILE SEGMENT: DCCP  
 LANGUAGE: UNAVAILABLE

FILE 'HOME' ENTERED AT 13:17:44 ON 15 MAR 2006

10/663335

=> d que stat 12; d que stat 111; d his ful  
L1 STR



NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I  
NUMBER OF NODES IS 12

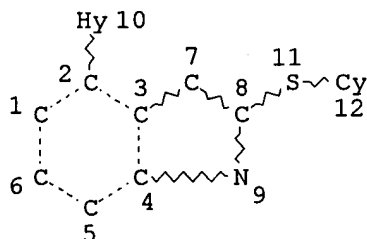
STEREO ATTRIBUTES: NONE

L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS  
SEARCH TIME: 00.00.01

34 ANSWERS

L7 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 10 12  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

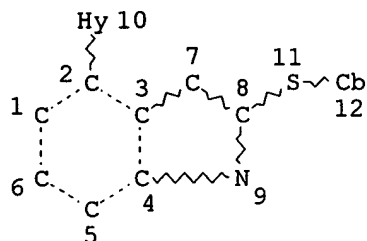
RSPEC I  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

10/663335

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)  
L10 STR



NODE ATTRIBUTES:  
CONNECT IS X3 RC AT 7  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 10  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS 29 ANSWERS  
SEARCH TIME: 00.00.01

(FILE 'REGISTRY' ENTERED AT 12:11:41 ON 15 MAR 2006)  
DEL HIS Y  
ACT WARDP6633/A

L1 STR  
L2 34 SEA SSS FUL L1

FILE 'REGISTRY' ENTERED AT 12:12:10 ON 15 MAR 2006  
D QUE STAT

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006  
L3 3 SEA ABB=ON PLU=ON L2  
D 1-3 IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006  
L4 0 SEA ABB=ON PLU=ON L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006  
L5 1 SEA ABB=ON PLU=ON L2  
D IBIB ABS

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 12:12:52 ON 15 MAR 2006

Searcher : Shears 571-272-2528

10/663335

L6 0 SEA ABB=ON PLU=ON L2

FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006

L7 STR L1

L8 3 SEA SSS SAM L7 (MODIFIED ATTRIBUTES)

L9 105 SEA SSS FUL L7 (MODIFIED ATTRIBUTES)

L10 STR L7

L11 29 SEA SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

D QUE STAT

D 1-29 .BEVMAR1

FILE 'HOME' ENTERED AT 12:16:29 ON 15 MAR 2006

D QUE STAT L2

D QUE STAT L11

D COST

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,  
JICST-EPLUS, JAPIO' ENTERED AT 13:09:26 ON 15 MAR 2006

L12 95 SEA ABB=ON PLU=ON "MADERA A"?/AU

L13 89 SEA ABB=ON PLU=ON "WEIKERT R"?/AU

L14 17 SEA ABB=ON PLU=ON L12 AND L13

L15 15 SEA ABB=ON PLU=ON (L12 OR L13) AND INDOLE

L16 28 SEA ABB=ON PLU=ON L14 OR L15

L17 19 DUP REM L16 (9 DUPLICATES REMOVED)

D 1-19 IBIB ABS

FILE 'HOME' ENTERED AT 13:11:09 ON 15 MAR 2006

D QUE STAT L2

D QUE STAT L11

D COST

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,  
JICST-EPLUS, JAPIO' ENTERED AT 13:16:41 ON 15 MAR 2006

L18 15 SEA ABB=ON PLU=ON (L12 OR L13) AND ?INDOLE

L19 28 SEA ABB=ON PLU=ON L14 OR L18

L20 19 DUP REM L19 (9 DUPLICATES REMOVED)

D L20 1-19 IBIB ABS

FILE 'HOME' ENTERED AT 13:17:44 ON 15 MAR 2006

D QUE STAT L2

D QUE STAT L11

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1

DICTIONARY FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*

Searcher : Shears 571-272-2528

10/663335

\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*

\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMI  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

#### FILE CAPLUS

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FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12  
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply  
They are available for your review at:

<http://www.cas.org/infopolicy.html>

#### FILE CAOLD

FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate  
substance identification. Title keywords, authors, patent  
assignees, and patent information, e.g., patent numbers, are  
now searchable from 1907-1966. TIFF images of CA abstracts  
printed between 1907-1966 are available in the PAGE  
display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGlstry for direct browsing and searching of  
all substance data from the REGISTRY file. Enter HELP FIRST for  
more information.

#### FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)  
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)  
HIGHEST GRANTED PATENT NUMBER: US7013485  
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519

Searcher : Shears 571-272-2528

10/663335

CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

FILE MEDLINE

FILE LAST UPDATED: 14 MAR 2006 (20060314/UP). FILE COVERS 1950 TO DA

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).  
See also:

<http://www.nlm.nih.gov/mesh/>  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)  
[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_med\\_data\\_changes.ht](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.ht)  
[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_2006\\_MeSH.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html)

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 9 March 2006 (20060309/ED)

FILE EMBASE

FILE COVERS 1974 TO 10 Mar 2006 (20060310/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

The updates on February 20 and 24, 2006, were incomplete due to a technical problem. The problem has been corrected, and the missing records were included in the update on March 3, 2006. If you received SDI results from the original updates on February 20 and 24, you will automatically be credited for the update that was rerun on March 3.

If you have any questions, please contact your STN Service Center.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT

FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES

Searcher : Shears 571-272-2528



10/663335

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006030554	09	FEB	2006
DE	102004053311	05	JAN	2006
EP	1609846	28	DEC	2005
JP	2006003337	05	JAN	2006
WO	2006012333	02	FEB	2006
GB	2415429	28	DEC	2005
FR	2873371	27	JAN	2006
RU	2266908	27	DEC	2005
CA	2495134	23	DEC	2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

#### FILE HOME

##### FILE WPIDS

FILE LAST UPDATED: 10 MAR 2006 <20060310/UP>  
MOST RECENT DERWENT UPDATE: 200617 <200617/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,  
PLEASE VISIT:  
[http://www.stn-international.de/training\\_center/patents/stn\\_guide.pdf](http://www.stn-international.de/training_center/patents/stn_guide.pdf)

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE  
<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

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FIRST VIEW - FILE WPIFV.  
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>>> THE CPI AND EPI MANUAL CODES WILL BE REVISED FROM UPDATE 200601.  
PLEASE CHECK:  
<http://scientific.thomson.com/support/patents/dwpieref/reftools/classif>

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE  
[http://www.stn-international.de/stndatabases/details/ipc\\_reform.html](http://www.stn-international.de/stndatabases/details/ipc_reform.html)  
<http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf> <<<

##### FILE CONFSCI

FILE COVERS 1973 TO 25 May 2005 (20050525/ED)

CSA has suspended updates until further notice.

##### FILE SCISEARCH

FILE COVERS 1974 TO 9 Mar 2006 (20060309/ED)

10/663335

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JICST-EPLUS

FILE COVERS 1985 TO 13 MAR 2006 (20060313/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO

FILE COVERS APR 1973 TO OCTOBER 27, 2005

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE.  
USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHER  
DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION  
ABOUT THE IPC REFORM <<<